U.S. Applic'n Sr. # 10684735 EFD 10/14/03

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                 and searchable
 NEWS  4  JAN 27 A new search aid, the Company Name Thesaurus, available in
                 CA/CAplus
 NEWS  5  FEB 05 German (DE) application and patent publication number format
                 changes
 NEWS  6  MAR 03 MEDLINE and LMEDLINE reloaded
 NEWS  7  MAR 03 MEDLINE file segment of TOXCENTER reloaded
 NEWS  8  MAR 03 FRANCEPAT now available on STN
 NEWS  9  MAR 29 Pharmaceutical Substances (PS) now available on STN
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 NEWS 11  MAR 29 No connect hour charges in WPIFV until May 1, 2004
 NEWS 12  MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA
 NEWS 13  APR 26 PROMT: New display field available
 NEWS 14  APR 26 IFIPAT/IFIUDB/IFICDB: New super search and display field
                 available
 NEWS 15  APR 26 LITALERT now available on STN
 NEWS 16  APR 27 NLDB: New search and display fields available

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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FILE 'HOME' ENTERED AT 13:27:47 ON 03 MAY 2004

=> file reg
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:27:59 ON 03 MAY 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8
DICTIONARY FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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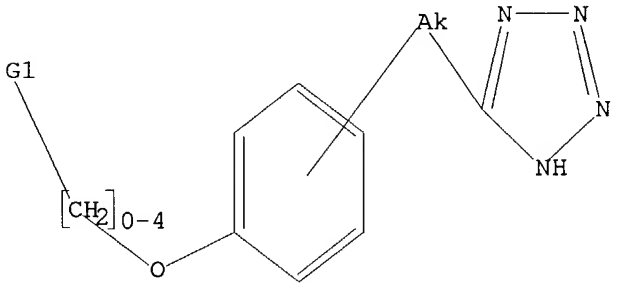
Uploading c:\program files\stnexp\queries\10684735.10

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 13:28:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 40460 TO ITERATE

100.0% PROCESSED 40460 ITERATIONS 157 ANSWERS
SEARCH TIME: 00.00.01

L2 157 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 13:28:29 ON 03 MAY 2004

FILE COVERS 1907 - 3 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 2 May 2004 (20040502/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 68 L2

=> s l3 and phenyl
L4 26 L3 AND PHENYL

=> s l3 and cycloalkyl
L5 13 L3 AND CYCLOALKYL

=> s l3 and heterocycle
L6 3 L3 AND HETEROCYCLE

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:41315 CAPLUS
DN 140:105289
TI Cysteinyl leukotriene receptor antagonists for the treatment of respiratory diseases
IN Fujita, Manabu
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent

LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004004773	A1	20040115	WO 2003-JP8655	20030708

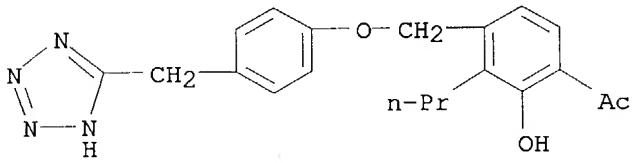
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2002-199825 A 20020709

IT **97581-70-9**, LY 163443
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cysteinyl leukotriene receptor antagonists for treatment of respiratory diseases)

RN 97581-70-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB A remedy for respiratory diseases comprises an antagonistic compound to cysLT1 receptor and an antagonistic compound to cysLT2 receptor. A compound antagonistic to both of cysLT1 receptor and cysLT2 receptor or a preparation comprising the combined use of an antagonistic compound to cysLT1 receptor and an antagonistic compound to cysLT2 receptor is useful as a remedy for respiratory diseases. It is expected that such a remedy is highly useful as a remedy for respiratory diseases (for example, bronchial asthma, chronic obstructive pulmonary disease, etc.) which is superior in therapeutic effect to the existing cysLT1 receptor-selective antagonist. Pharmacol. activities of Bay-u9773 and montelukast sodium were studied using guinea pigs.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:796427 CAPLUS
DN 139:323535
TI Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivatives as modulating agents for liver X receptors (LXR)
IN Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Bhat, Ajita; Mcatee, John Jeffrey; Stavenger, Robert A.

heterocycloalkyl; X = CH2O, CH2CH2O, (CH2)3, CH2C.tplbond.C, CH2CH:CH; Q = (substituted) (fused) aryl, heteroaryl; Y, Z = null, (CR1R2)n, (CR3R4)m; R1-R4 = H, halo, alkyl, OH, alkoxy; m, n = 1-3; B = H, halo, alkyl, haloalkyl, alkoxy; D = H, (substituted) arylamino, alkanoyl, PhCO, aryl, heteroaryl, cycloalkyl, heterocycloalkyl; E = COR5; R5 = alkyl, OH, alkoxy, amino, sulfonylamino, substituted heteroaryl, dioxothiazolyl, etc.; with provisos], were prepared Thus, (S)-tyrosine Me ester, 2,5-dimethoxytetrahydrofuran, and NaOAc were heated in aqueous HOAc at 100° for 20 min. to give 35% pyrrolotyrosine Me ester. This was stirred with 2-(5-methyl-2-phenyloxazol-4-yl)ethanol, Ph3P, and di-Et azodicarboxylate in THF for 18 h to give 51% Me (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionate. The latter was stirred with LiOH in THF/H2O to give 51% (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]-2-pyrrol-1-ylpropionic acid. In a 3T3-L1 adipocyte differentiation assay, title compds. at 5 μM showed 2-183% of the activity of BRL 49653 pos. control. A drug formulation is given.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS
DN 138:24543
TI Preparation of benzyloxyphenyloxobutyrates and related compounds for the treatment of metabolic disorders
IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
PA Wellstat Therapeutics Corporation, USA
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100341	A2	20021219	WO 2002-US18388	20020612
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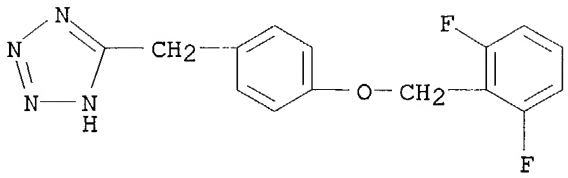
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-297282PP	20010612
	US 2003149107	A1	20030807	US 2002-167839	20020612
				US 2001-297282PP	20010612
	US 2004077896	A1	20040422	US 2003-684644	20031014
				US 2001-297282PP	20010612
				US 2002-167839 A3	20020612

OS MARPAT 138:24543
IT **478162-73-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyloxyphenyloxobutyrates and related compds. for treatment of metabolic disorders)

RN 478162-73-1 CAPLUS
CN 1H-Tetrazole, 5-[[4-[(2,6-difluorophenyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



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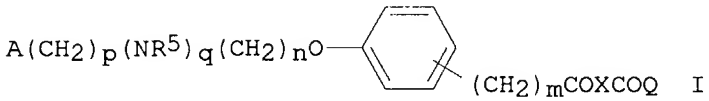

AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyloxy)phenyl]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L3 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:504756 CAPLUS
DN 137:63175
TI Preparation of indolyloxyphenylacetates and related compounds as thyroid receptor ligands.
IN Haning, Helmut; Woltering, Michael; Schmidt, Gunter; Bischoff, Hilmar; Kretschmer, Axel; Voehringer, Verena; Faeste, Christiane
PA Bayer Aktiengesellschaft, Germany
SO PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002051805	A1	20020704	WO 2001-EP14752	20011214

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 2000-10065433A 20001227
DE 2001-10130830A 20010627

DE 10130830 A1 20020725 DE 2001-10130830 20010627
DE 2000-10065433A120001227

EP 1347959 A1 20031001 EP 2001-272011 20011214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
DE 2000-10065433A 20001227
DE 2001-10130830A 20010627
WO 2001-EP14752W 20011214

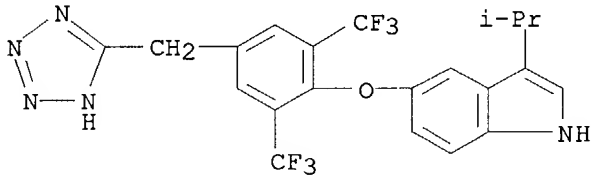
US 2003078288 A1 20030424 US 2001-26023 20011221
DE 2000-10065433A 20001227
DE 2001-10130830A 20010627

OS MARPAT 137:63175

IT **439612-23-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolyloxyphenylacetates and related compds. as thyroid receptor ligands)

RN 439612-23-4 CAPLUS

CN 1H-Indole, 3-(1-methylethyl)-5-[4-(1H-tetrazol-5-ylmethyl)-2,6-bis(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



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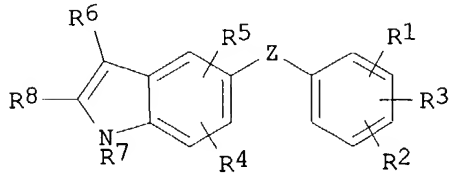

I

AB Title compds. [I; Z = O, S, SO, OSO2, CH2, CHF, CF2 NR9; R9 = H, alkyl; R1, R2 = H, halo, cyano, alkyl, CF3, CHF2, CH2F, vinyl, cycloalkyl; R3 = AmDnEoGpLR10, etc.; A = O, S, NR11, CR12:CR13; R11 = H, alkyl; R12, R13 =

H, cyano, alkyl, alkoxy; D = (substituted) alkylene; E, L = CO, SO2; G = NR14; R14 = H, (substituted) alkyl, alkylene; m, n, o, p = 0, 1; R10 = (substituted) OR15, NR16R17, alkyl, cycloalkyl, alkenyl, aryl, arylmethyl, heterocyclyl; R15 R16, R17 = H, Ph, PhCH2, alkyl, cycloalkyl, etc.; R4, R5 = H, OH, halo, cyano, NO2, alkyl, NR30R31; R30, R31 = R15; R6 = H, halo, MaR32; M = CO, SO2, CH2; a = 0, 1; R32 = R10; with provisos], were prepared Thus, 4-(3-isopropyl-1H-indol-5-yloxy)-3,5-bis(trifluoromethyl)phenylaceto nitrile (preparation given) was stirred at 105° in aqueous H2SO4 to give 15.3% 4-(3-isopropyl-1H-indol-5-yloxy)-3,5-bis(trifluoromethyl)phenylaceti c acid. The latter in a T3 promoter assay showed EC50 = 0.5 nM.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:327922 CAPLUS
DN 136:319783
TI Treatment for dermal skin atrophy using thyroid hormone compounds or thyroid hormone-like compounds
IN Lavin, Thomas N.
PA Karo Bio A.B., Swed.
SO U.S., 15 pp., Cont.-in-part of U.S. Ser. No. 973,627.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6380255	B1	20020430	US 2000-617052	20000714
				US 1995-481698 B2	19950607
				WO 1996-US9975 W	19960607
				US 1998-973627 A2	19980309
	WO 9640048	A2	19961219	WO 1996-US9975	19960607
	WO 9640048	A3	19971113		
	W: AU, CA, JP, KP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1995-481698 A	19950607
	EP 1398024	A2	20040317	EP 2003-22417	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1995-481698 A	19950607
				EP 1996-924268 A3	19961219
	US 6221911	B1	20010424	US 1998-973627	19980309
				US 1995-481698 B2	19950607
				WO 1996-US9975 W	19960607
	WO 2002005834	A2	20020124	WO 2001-GB3182	20010716
	WO 2002005834	A3	20030501		
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				US 2000-617052 A	20000714
	EP 1328265	A2	20030723	EP 2001-949712	20010716

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				US 2000-617052 A 20000714
				WO 2001-GB3182 W 20010716
US 2002123521	A1	20020905	US 2002-81397	20020225
			WO 1996-US9975 W	19960607
			US 1998-973627 A	219980309
			US 2000-617052 A	320000714

PATENT FAMILY INFORMATION:

FAN 1997:168537

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640048	A2	19961219	WO 1996-US9975	19960607
	WO 9640048	A3	19971113		

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				US 1995-481698 A 19950607
CA 2223720	AA	19961219	CA 1996-2223720	19960607
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AU 9664769	A1	19961230	AU 1996-64769	19960607
			US 1995-481698 A	19950607
			WO 1996-US9975 W	19960607
EP 831769	A2	19980401	EP 1996-924268	19960607
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				US 1995-481698 A 19950607
				WO 1996-US9975 W 19960607
JP 11508241	T2	19990721	JP 1996-502122	19960607
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			WO 1996-US9975 W	19960607
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			US 1995-481698 A	19950607
			WO 1996-US9975 W	19960607
EP 1398024	A2	20040317	EP 2003-22417	19960607

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

				US 1995-481698 A 19950607
				EP 1996-924268 A 319961219
US 6221911	B1	20010424	US 1998-973627	19980309
			US 1995-481698 B	219950607
			WO 1996-US9975 W	19960607
US 6380255	B1	20020430	US 2000-617052	20000714
			US 1995-481698 B	219950607
			WO 1996-US9975 W	19960607
			US 1998-973627 A	219980309
US 2002123521	A1	20020905	US 2002-81397	20020225
			WO 1996-US9975 W	19960607
			US 1998-973627 A	219980309
			US 2000-617052 A	320000714

FAN 2001:297645

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6221911	B1	20010424	US 1998-973627	19980309
				US 1995-481698 B	219950607
				WO 1996-US9975 W	19960607
	WO 9640048	A2	19961219	WO 1996-US9975	19960607

WO 9640048 A3 19971113
W: AU, CA, JP, KP, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
US 1995-481698 A 19950607
EP 1398024 A2 20040317 EP 2003-22417 19960607
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US 1995-481698 A 19950607
EP 1996-924268 A319961219
US 6380255 B1 20020430 US 2000-617052 20000714
US 1995-481698 B219950607
WO 1996-US9975 W 19960607
US 1998-973627 A219980309
US 2002123521 A1 20020905 US 2002-81397 20020225
WO 1996-US9975 W 19960607
US 1998-973627 A219980309
US 2000-617052 A320000714

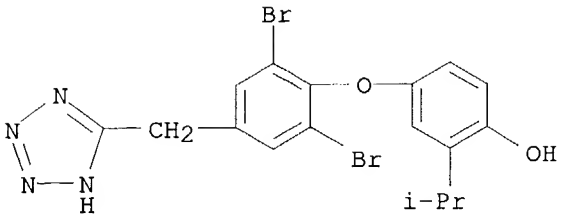
FAN 2002:71890

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002005834	A2	20020124	WO 2001-GB3182	20010716

WO 2002005834 A3 20030501
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2000-617052 A 20000714
US 6380255 B1 20020430 US 2000-617052 20000714
US 1995-481698 B219950607
WO 1996-US9975 W 19960607
US 1998-973627 A219980309
EP 1328265 A2 20030723 EP 2001-949712 20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-617052 A 20000714
WO 2001-GB3182 W 20010716

IT **390362-08-0**, KB 067
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment for dermal skin atrophy using thyroid hormone compds. or thyroid hormone-like compds. that bind to TR-α or TR-β)

RN 390362-08-0 CAPLUS
CN Phenol, 4-[2,6-dibromo-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



AB The present invention is directed to a method for treating dermal atrophy of the skin. The method of the invention includes applying a composition to the skin of a mammal suffering from dermal atrophy of the skin, and comprising at least one thyroid hormone compound or thyroid hormone-like compound together with a pharmacol. acceptable base suitable for topical application, wherein the thyroid hormone compound or the thyroid hormone-like compound binds to TR-α or TR-β with an equilibrium dissociation constant, Kd, of at least 10-5 M.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:90009 CAPLUS
DN 136:134497
TI Synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases
IN Reid, Robert C.; Clark, Christopher I.; Hansford, Karl; Stoermer, Martin J.; McGeary, Ross P.; Fairlie, David P.
PA The University of Queensland, Australia
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008189	A1	20020131	WO 2001-AU898	20010724
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				AU 2000-8965	A 20000724
				AU 2000-1669	A 20001124
	EP 1309552	A1	20030514	EP 2001-951251	20010724
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				AU 2000-8965	A 20000724
				AU 2000-1669	A 20001124
				WO 2001-AU898	W 20010724
	JP 2004503604	T2	20040205	JP 2002-514096	20010724
				AU 2000-8965	A 20000724
				AU 2000-1669	A 20001124

WO 2001-AU898 W 20010724
US 2004033995 A1 20040219 US 2003-333871 20030825
AU 2000-8965 A 20000724
AU 2000-1669 A 20001124
WO 2001-AU898 W 20010724

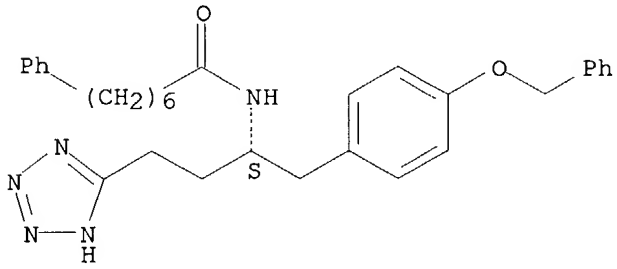
OS MARPAT 136:134497

IT **393569-38-5P**, (S)-7-Phenylheptanoic acid [1-(4-benzyloxybenzyl)-3-(1H-tetrazol-5-yl)propyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases)

RN 393569-38-5 CAPLUS

CN Benzeneheptanamide, N-[(1S)-1-[[4-(phenylmethoxy)phenyl]methyl]-3-(1H-tetrazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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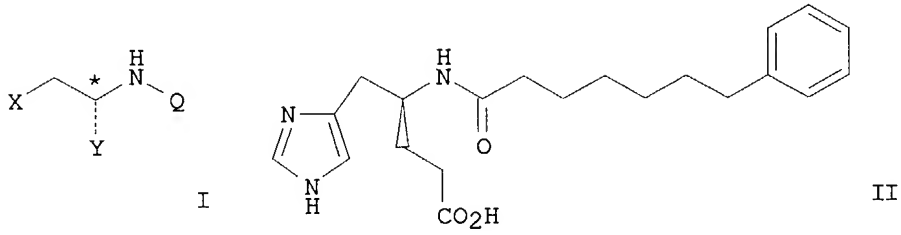

I II

AB Title compds. I [X = CRR'CO2H, CRR'-tetrazolyl, CRR'SO3H, CRR'P(O)(OH)2, CRR'P(O)(OH)(OR"), CHRCH2CO2H, CHRCH2-tetrazolyl, CHRCH2SO3H, CHRCH2P(O)(OH)2, CHRCH2P(O)(OH)(OR"), OP(O)(OH)R', NRSO3H, NRP(O)(OH)2, NRP(O)(OH)(OR''); R, R', R'' = H, (un)substituted alk(en/yn)yl, acyl, arylalkyl, cycloalkylalkyl, heterocyclylalkyl, except that R'' is not hydrogen; Q = acyl, carboxamido, sulfonyl, sulfinyl, phosphinyl, etc.] were prepared For example, II was synthesized from N-Boc-D-histidine in 11 steps. II had IC50 = 2.5 μM for human non-pancreatic secretory phospholipase A2 (sPLA2). Homochiral and enantiomeric mixts. of I are useful for treatment of (e.g.)inflammatory diseases.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:71890 CAPLUS
DN 136:113172
TI Formulations containing thyroid hormones or thyroid hormone-like agonist compounds for treating dermatological conditions
IN Lavin, Thomas N.
PA Karo Bio AB, Swed.; Dean, John, Paul
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002005834	A2	20020124	WO 2001-GB3182	20010716
	WO 2002005834	A3	20030501		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 2000-617052 A	20000714
	US 6380255	B1	20020430	US 2000-617052	20000714
				US 1995-481698 B2	19950607
				WO 1996-US9975 W	19960607
				US 1998-973627 A2	19980309
	EP 1328265	A2	20030723	EP 2001-949712	20010716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2000-617052 A	20000714
				WO 2001-GB3182 W	20010716

PATENT FAMILY INFORMATION:
FAN 1997:168537

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640048	A2	19961219	WO 1996-US9975	19960607
	WO 9640048	A3	19971113		
	W: AU, CA, JP, KP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
				US 1995-481698 A	19950607
	CA 2223720	AA	19961219	CA 1996-2223720	19960607
				US 1995-481698 A	19950607
	AU 9664769	A1	19961230	AU 1996-64769	19960607
				US 1995-481698 A	19950607
				WO 1996-US9975 W	19960607
	EP 831769	A2	19980401	EP 1996-924268	19960607
	EP 831769	B1	20031015		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				US 1995-481698 A	19950607
				WO 1996-US9975 W	19960607
	JP 11508241	T2	19990721	JP 1996-502122	19960607
				US 1995-481698 A	19950607

				WO 1996-US9975 W 19960607
	AT 251887	E	20031115	AT 1996-924268 19960607
				US 1995-481698 A 19950607
				WO 1996-US9975 W 19960607
	EP 1398024	A2	20040317	EP 2003-22417 19960607
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				US 1995-481698 A 19950607
				EP 1996-924268 A319961219
	US 6221911	B1	20010424	US 1998-973627 19980309
				US 1995-481698 B219950607
				WO 1996-US9975 W 19960607
	US 6380255	B1	20020430	US 2000-617052 20000714
				US 1995-481698 B219950607
				WO 1996-US9975 W 19960607
				US 1998-973627 A219980309
	US 2002123521	A1	20020905	US 2002-81397 20020225
				WO 1996-US9975 W 19960607
				US 1998-973627 A219980309
				US 2000-617052 A320000714

FAN 2001:297645

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 6221911	B1	20010424	US 1998-973627 19980309
				US 1995-481698 B219950607
				WO 1996-US9975 W 19960607
	WO 9640048	A2	19961219	WO 1996-US9975 19960607
	WO 9640048	A3	19971113	
	W: AU, CA, JP, KP, US			
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
				US 1995-481698 A 19950607
	EP 1398024	A2	20040317	EP 2003-22417 19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
				US 1995-481698 A 19950607
				EP 1996-924268 A319961219
	US 6380255	B1	20020430	US 2000-617052 20000714
				US 1995-481698 B219950607
				WO 1996-US9975 W 19960607
				US 1998-973627 A219980309
	US 2002123521	A1	20020905	US 2002-81397 20020225
				WO 1996-US9975 W 19960607
				US 1998-973627 A219980309
				US 2000-617052 A320000714

FAN 2002:327922

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 6380255	B1	20020430	US 2000-617052 20000714
				US 1995-481698 B219950607
				WO 1996-US9975 W 19960607
				US 1998-973627 A219980309
	WO 9640048	A2	19961219	WO 1996-US9975 19960607
	WO 9640048	A3	19971113	
	W: AU, CA, JP, KP, US			
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
				US 1995-481698 A 19950607
	EP 1398024	A2	20040317	EP 2003-22417 19960607

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

US 1995-481698 A 19950607
EP 1996-924268 A319961219
US 6221911 B1 20010424 US 1998-973627 19980309
US 1995-481698 B219950607
WO 1996-US9975 W 19960607
WO 2002005834 A2 20020124 WO 2001-GB3182 20010716
WO 2002005834 A3 20030501
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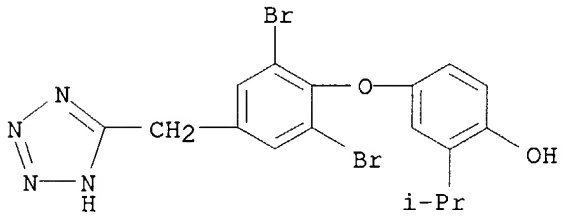
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2000-617052 A 20000714
EP 1328265 A2 20030723 EP 2001-949712 20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-617052 A 20000714
WO 2001-GB3182 W 20010716
US 2002123521 A1 20020905 US 2002-81397 20020225
WO 1996-US9975 W 19960607
US 1998-973627 A219980309
US 2000-617052 A320000714

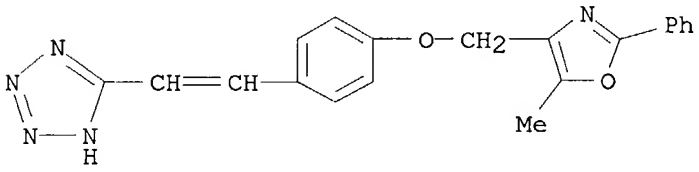
IT **390362-08-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(formulations containing thyroid hormones or thyroid hormone-like agonist compds. for treating dermatol. conditions)
RN 390362-08-0 CAPLUS
CN Phenol, 4-[2,6-dibromo-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



AB The present invention is directed to the use of at least one thyroid hormone compound or thyroid hormone-like agonist compound in the preparation of a topical medicament for the treatment of a dermatol. condition affecting the dermis. The thyroid hormone compound or the thyroid hormone-like agonist compound binds to TR-α or TR-β with an equilibrium dissociation constant, Kd, of less than 5 x 10-6 M. The invention is also directed to a composition for treating a dermatol. conditions affecting the dermis and to an article of manufacture comprising packaging material and a pharmaceutical agent

contained within the packaging material, wherein the pharmaceutical agent is therapeutically effective for treating such a condition. Use of at least one thyroid hormone or thyroid hormone-like agonist compound in the preparation of a topical medicament for the pre-treatment of skin in dermatol. surgery is also provided.

L3 ANSWER 13 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:19837 CAPLUS
DN 136:350405
TI Novel 5-substituted-1H-tetrazole derivatives as potent glucose and lipid lowering agents
AU Momose, Yu.; Maekawa, Tsuyoshi; Odaka, Hiroyuki; Ikeda, Hitoshi; Sohda, Takashi
CS Medicinal Chemistry Research Laboratories II, Takeda Chemical Industries, Ltd., Chuo-ku. Osaka, 540-8645, Japan
SO Chemical & Pharmaceutical Bulletin (2002), 50(1), 100-111
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
IT **421558-67-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolylalkoxyphenylalkyltetrazoles as antihyperglycemic and antihyperlipidemic agents)
RN 421558-67-0 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]etheny l]- (9CI) (CA INDEX NAME)



IT **166253-96-9P 166253-97-0P 166253-98-1P**
166253-99-2P 166254-00-8P 166254-01-9P
166254-03-1P 166254-06-4P 166254-07-5P
166254-08-6P 166254-09-7P 166254-13-3P
166254-19-9P 166254-21-3P 166254-23-5P
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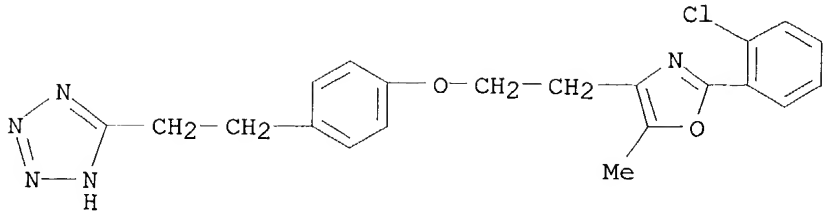
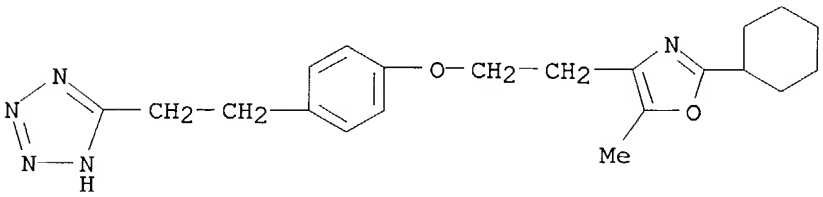
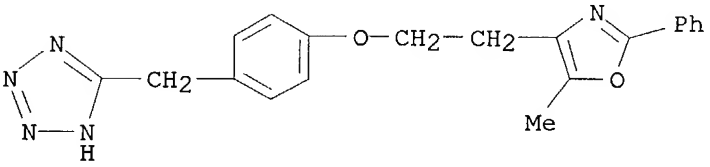
166254-30-4P 421558-50-1P 421558-51-2P
421558-52-3P 421558-54-5P 421558-60-3P
421558-64-7P 421558-65-8P 421558-66-9P
421558-68-1P 421558-69-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of oxazolylalkoxyphenylalkyltetrazoles as antihyperglycemic and antihyperlipidemic agents)
RN 166253-96-9 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-[2-(2-chlorophenyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



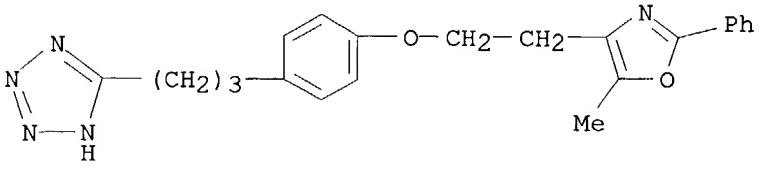
RN 166253-97-0 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-(2-cyclohexyl-5-methyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



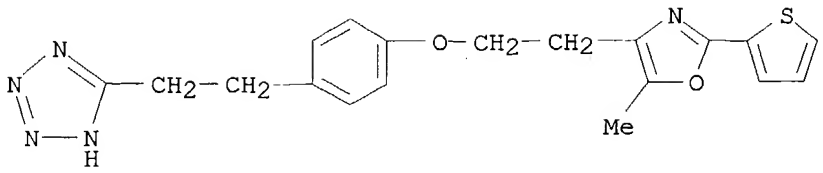
RN 166253-98-1 CAPLUS
CN 1H-Tetrazole, 5-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



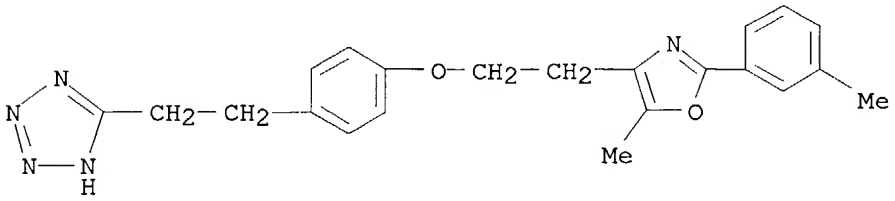
RN 166253-99-2 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



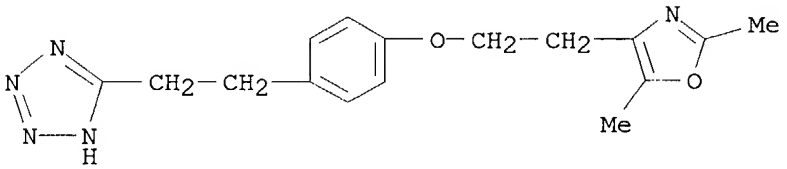
RN 166254-00-8 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-[5-methyl-2-(2-thienyl)-4-oxazolyl]ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



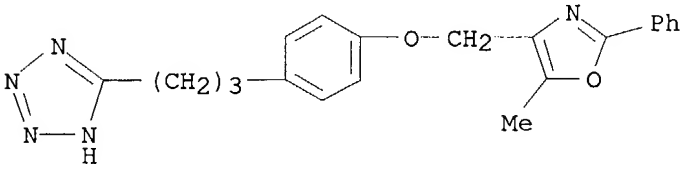
RN 166254-01-9 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-[5-methyl-2-(3-methylphenyl)-4-oxazolyl]ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



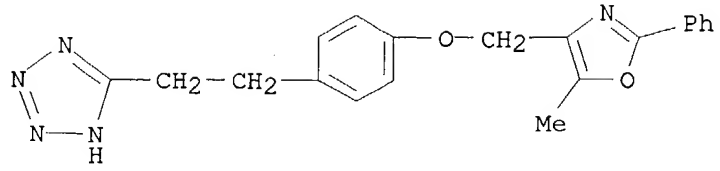
RN 166254-03-1 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-(2,5-dimethyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



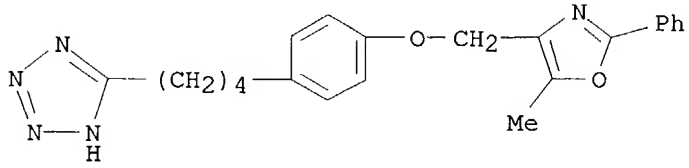
RN 166254-06-4 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



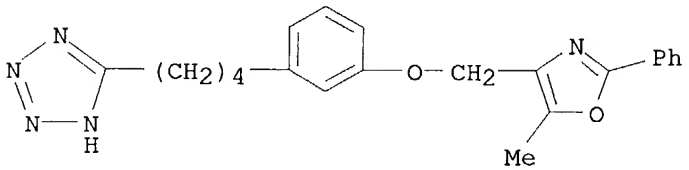
RN 166254-07-5 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



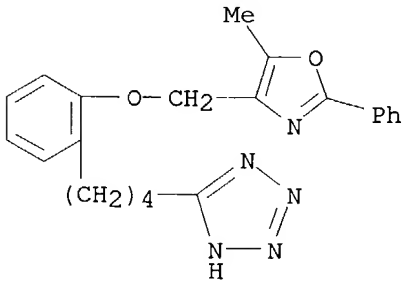
RN 166254-08-6 CAPLUS
CN 1H-Tetrazole, 5-[4-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



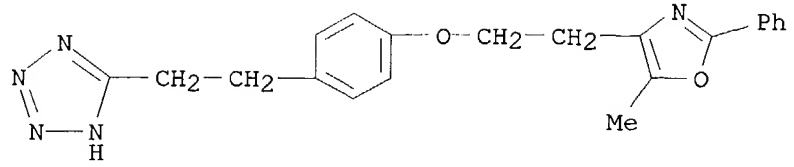
RN 166254-09-7 CAPLUS
CN 1H-Tetrazole, 5-[4-[3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



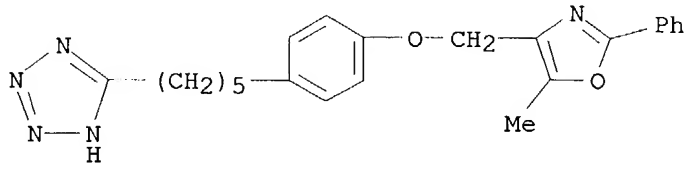
RN 166254-13-3 CAPLUS
CN 1H-Tetrazole, 5-[4-[2-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



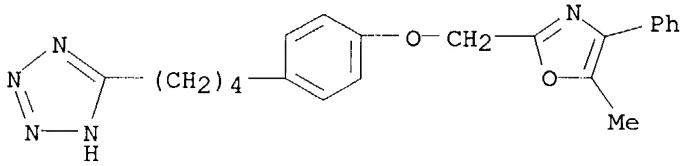
RN 166254-19-9 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



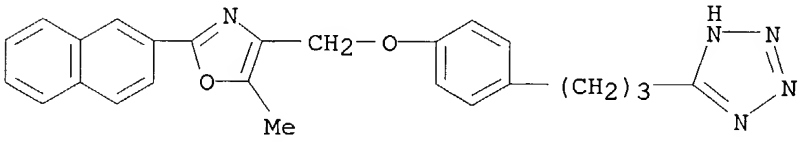
RN 166254-21-3 CAPLUS
CN 1H-Tetrazole, 5-[5-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]pentyl]- (9CI) (CA INDEX NAME)



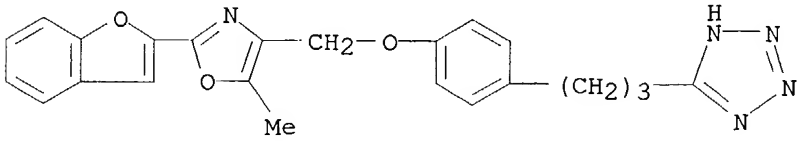
RN 166254-23-5 CAPLUS
CN 1H-Tetrazole, 5-[4-[4-[(5-methyl-4-phenyl-2-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



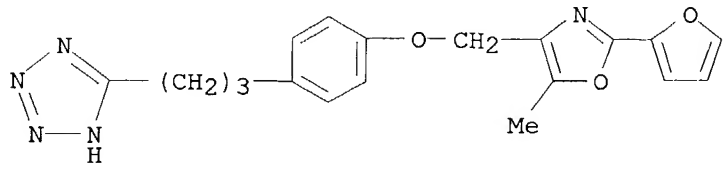
RN 166254-25-7 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[[5-methyl-2-(2-naphthalenyl)-4-oxazolyl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



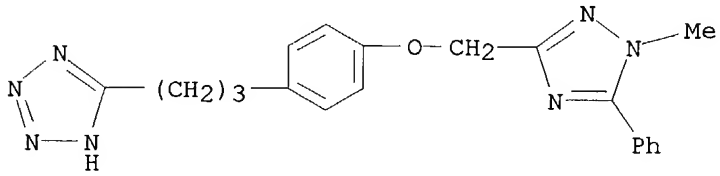
RN 166254-28-0 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[[2-(2-benzofuranyl)-5-methyl-4-oxazolyl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



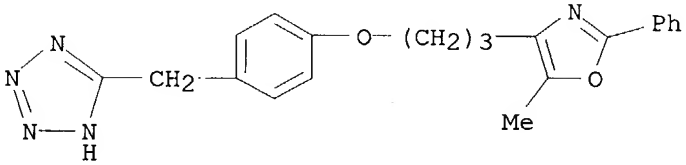
RN 166254-29-1 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[[2-(2-furanyl)-5-methyl-4-oxazolyl]methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



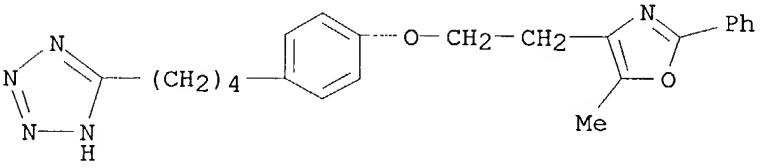
RN 166254-30-4 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[(1-methyl-5-phenyl-1H-1,2,4-triazol-3-yl)methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



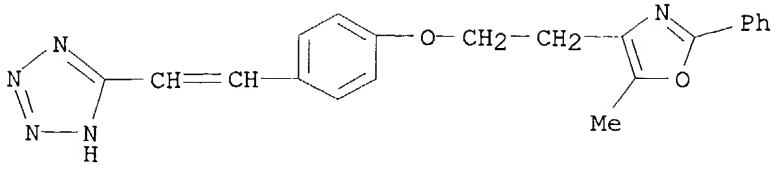
RN 421558-50-1 CAPLUS
CN 1H-Tetrazole, 5-[[4-[3-(5-methyl-2-phenyl-4-oxazolyl)propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



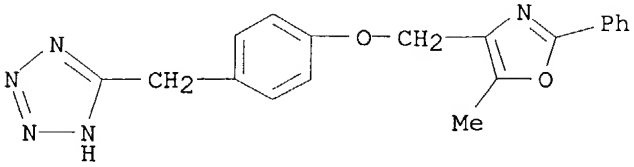
RN 421558-51-2 CAPLUS
CN 1H-Tetrazole, 5-[4-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



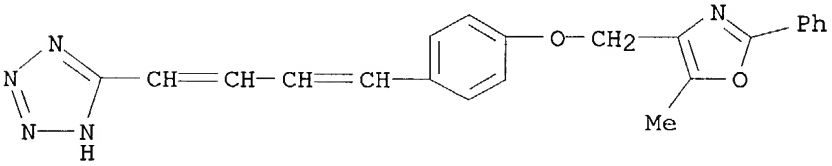
RN 421558-52-3 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethenyl]- (9CI) (CA INDEX NAME)



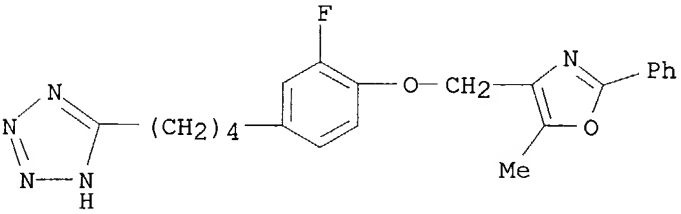
RN 421558-54-5 CAPLUS
CN 1H-Tetrazole, 5-[[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



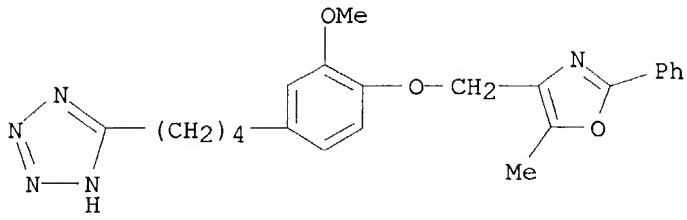
RN 421558-60-3 CAPLUS
CN 1H-Tetrazole, 5-[4-[4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]-1,3-butadienyl]- (9CI) (CA INDEX NAME)



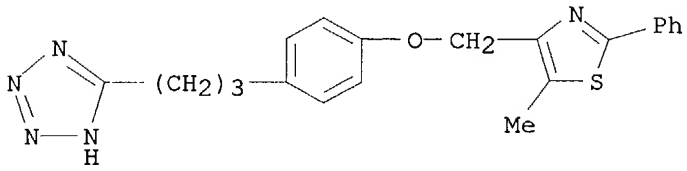
RN 421558-64-7 CAPLUS
CN 1H-Tetrazole, 5-[4-[3-fluoro-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



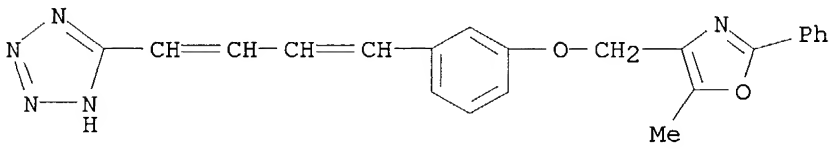
RN 421558-65-8 CAPLUS
CN 1H-Tetrazole, 5-[4-[3-methoxy-4-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]butyl]- (9CI) (CA INDEX NAME)



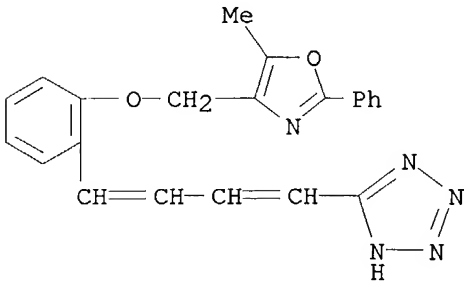
RN 421558-66-9 CAPLUS
CN 1H-Tetrazole, 5-[3-[4-[(5-methyl-2-phenyl-4-thiazolyl)methoxy]phenyl]propyl]- (9CI) (CA INDEX NAME)



RN 421558-68-1 CAPLUS
CN 1H-Tetrazole, 5-[4-[3-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]-1,3-butadienyl]- (9CI) (CA INDEX NAME)



RN 421558-69-2 CAPLUS
CN 1H-Tetrazole, 5-[4-[2-[(5-methyl-2-phenyl-4-oxazolyl)methoxy]phenyl]-1,3-butadienyl]- (9CI) (CA INDEX NAME)



GI

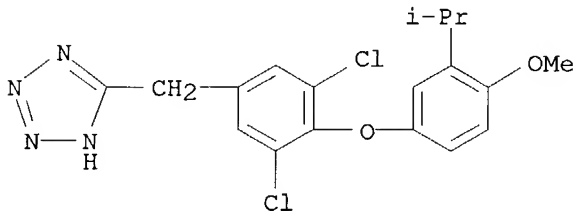
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:457018 CAPLUS
DN 133:89793
TI Preparation of 4-(4-hydroxyphenoxy)phenylacetyl amino acids and related compounds as novel thyroid receptor ligands
IN Hangeland, Jon; Zhang, Minsheng; Caringal, Yolanda; Ryono, Denis; Li, Yi-lin; Malm, Johan; Liu, Ye; Garg, Neeraj; Litten, Chris; Garcia Collazo, Ana Maria; Koehler, Konrad
PA Karo Bio AB, Swed.; et al.
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

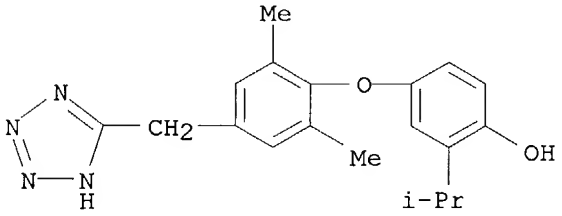
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000039077	A2	20000706	WO 1999-IB2084	19991223
	WO 2000039077	A3	20000921		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				GB 1998-28442 A	19981224
	CA 2356319	AA	20000706	CA 1999-2356319	19991223
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	BR 9916851	A	20011016	BR 1999-16851	19991223
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	EP 1144370	A2	20011017	EP 1999-962486	19991223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	JP 2002533432	T2	20021008	JP 2000-590990	19991223
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	AU 758202	B2	20030320	AU 2000-18855	19991223
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	NZ 512422	A	20040227	NZ 1999-512422	19991223
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	NO 2001002931	A	20010821	NO 2001-2931	20010613
				GB 1998-28442 A	19981224
				WO 1999-IB2084 W	19991223
	ZA 2001004932	A	20030115	ZA 2001-4932	20010615
				GB 1998-28442 A	19981224

OS MARPAT 133:89793

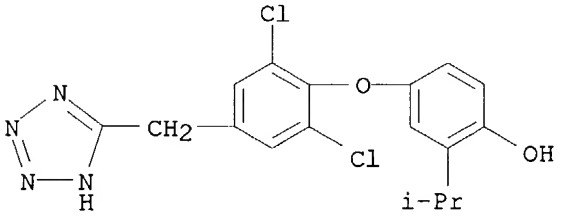
IT **280779-34-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (hydroxyphenoxy)phenylacetyl amino acids and related compds. as novel thyroid receptor ligands)
RN 280779-34-2 CAPLUS
CN 1H-Tetrazole, 5-[[3,5-dichloro-4-[4-methoxy-3-(1-methylethyl)phenoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



IT **280777-05-1P 280777-06-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hydroxyphenoxy)phenylacetyl amino acids and related compds. as novel thyroid receptor ligands)
RN 280777-05-1 CAPLUS
CN Phenol, 4-[2,6-dimethyl-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 280777-06-2 CAPLUS
CN Phenol, 4-[2,6-dichloro-4-(1H-tetrazol-5-ylmethyl)phenoxy]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)



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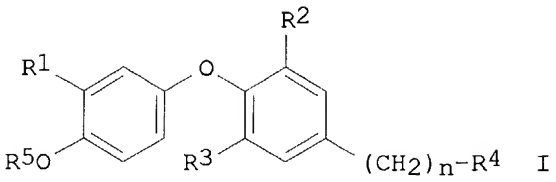
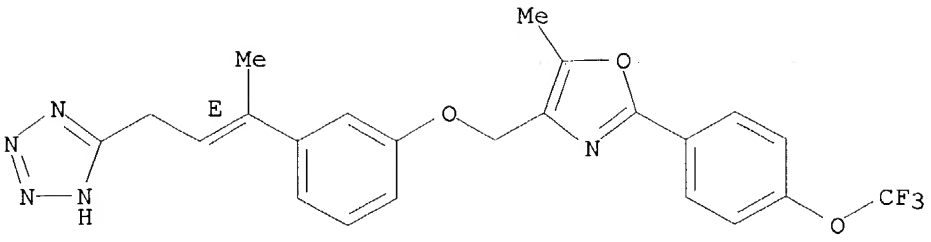


I

AB Title compds. I [R1 = halo, trifluoromethyl, alkyl, cycloalkyl; R2, R3 = H, halo, alkyl, at least one of R2 and R3 being other than H; n = 0-4; R4 is an (un)substituted heteroarom. moiety linked to (CH2)n via a nitrogen or carbon atom; an amine, including those in which the amine is derived from an alpha amino acid of either L- or D-stereochem., an acylsulfonamide, or a carboxylic acid amide, with the proviso that when n = 0, then R4 can only be a carboxylic acid amide or an acylsulfonamide; R5 is H or an acyl or other group capable of bioconversion to generate the free phenol structure] were prepared for use in the treatment of diseases associated with metabolism dysfunction or which are dependent on the expression of a T3 regulated gene (such as obesity, hypercholesterolemia, atherosclerosis, depression, osteoporosis, hypothyroidism, goiter, thyroid cancer, glaucoma, cardiac arrhythmia, and congestive heart failure). Thus, coupling of 3,5-dibromo-4-(4-hydroxy-3-isopropylphenoxy)phenylacetic acid with D-methionine Me ester hydrochloride followed by hydrolysis afforded N-[3,5-dibromo-4-(4-hydroxy-3-isopropylphenoxy)phenylacetyl]-D-methionine.

L3 ANSWER 22 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:94931 CAPLUS
DN 132:265154
TI New Azolidinediones as Inhibitors of Protein Tyrosine Phosphatase 1B with Antihyperglycemic Properties
AU Malamas, Michael S.; Sredy, Janet; Gunawan, Iwan; Mihan, Brenda; Sawicki, Diane R.; Seestaller, Laura; Sullivan, Donald; Flam, Brenda R.
CS Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA
SO Journal of Medicinal Chemistry (2000), 43(5), 995-1010
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **263568-07-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of phenyloxazolylalkoxyphenylalkyloxazolidinediones as protein tyrosine phosphatase inhibitors)
RN 263568-07-6 CAPLUS
CN 1H-Tetrazole, 5-[(2E)-3-[3-[[5-methyl-2-[4-(trifluoromethoxy)phenyl]-4-oxazolyl]methoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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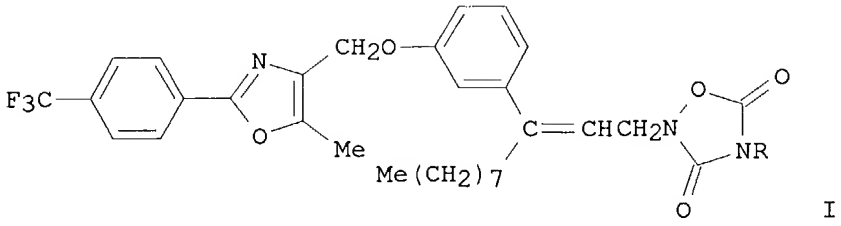

I

AB Insulin resistance in the liver and peripheral tissues together with a pancreatic cell defect are the common causes of type 2 diabetes. It is now appreciated that insulin resistance can result from a defect in the insulin receptor signaling system, at a site post binding of insulin to its receptor. Protein tyrosine phosphatases (PTPases) have been shown to be neg. regulators of the insulin receptor. Inhibition of PTPases may be an effective method in the treatment of type 2 diabetes. A series of azolidinediones has been prepared as protein tyrosine phosphatase 1B (PTP1B) inhibitors. Several compds. were potent inhibitors against the recombinant rat and human PTP1B enzymes with submicromolar IC50 values. Elongated spacers between the azolidinedione moiety and the central aromatic portion of the mol. as well as hydrophobic groups at the vicinity of this aromatic region were very important to the inhibitory activity. Oxadiazolidinediones (E)- and (Z)-I [R = H, CH2CO2H] were the best h-PTP1B inhibitors with IC50 values in the range of 0.12-0.3 μM. Several compds. normalized plasma glucose and insulin levels in the ob/ob and db/db diabetic mouse models.

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:282096 CAPLUS
DN 130:320864
TI PPAR-γ-binding quinoline derivatives, their preparation, and their therapeutic use
IN Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8
PATENT NO. KIND DATE APPLICATION NO. DATE

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9920275	A1	19990429	WO 1998-US21947	19981016
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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				US 1997-65902P P	19971117
	CA 2306825	AA	19990429	CA 1998-2306825	19981016
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016
	AU 9896961	A1	19990510	AU 1998-96961	19981016
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016
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				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016
	BR 9814087	A	20001003	BR 1998-14087	19981016
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016
	JP 2001520193	T2	20011030	JP 2000-516672	19981016
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016
	US 6376512	B1	20020423	US 2000-490897	20000127
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947A1	19981016
	NO 2000001962	A	20000616	NO 2000-1962	20000414
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016
	BG 104432	A	20001229	BG 2000-104432	20000515
				US 1997-62318P P	19971017
				US 1997-65902P P	19971117
				WO 1998-US21947W	19981016

PATENT FAMILY INFORMATION:

FAN 1997:549278

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724117	A1	19970710	WO 1997-US264	19970102
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

			US 1996-9484P	P 19960102
AU 9715298	A1	19970728	AU 1997-15298	19970102
			US 1996-9484P	P 19960102
			WO 1997-US264	W 19970102
EP 871439	A1	19981021	EP 1997-901388	19970102
EP 871439	B1	20040331		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

			US 1996-9484P	P 19960102
			WO 1997-US264	W 19970102
JP 2000503012	T2	20000314	JP 1997-524642	19970102
			US 1996-9484P	P 19960102
			WO 1997-US264	W 19970102
US 6057369	A	20000502	US 1997-928943	19970912
			US 1996-9484P	P 19960102
			WO 1997-US264	A119970102
US 6133409	A	20001017	US 1998-103872	19980624
			US 1996-32453P	P 19961219
			US 1996-33881P	P 19961224
			WO 1997-US264	A119970102
			US 1997-928943	A219970912
			WO 1997-US23920	A219971217
US 6392010	B1	20020521	US 1999-469829	19991222
			US 1996-32453P	P 19961219
			US 1996-33881P	P 19961224
			WO 1997-US264	A119970102
			US 1997-928943	A219970912
			WO 1997-US23920	A219971217
			US 1998-103872	A219980624
			WO 1999-US14251	A219990623
US 2002183558	A1	20021205	US 2002-107771	20020327
US 6710208	B2	20040323		
			US 1996-32453P	P 19961219
			US 1996-33881P	P 19961224
			WO 1997-US264	A119970102
			US 1997-928943	A219970912
			WO 1997-US23920	A219971217
			US 1998-103872	A219980624
			WO 1999-US14251	A219990623
			US 1999-469829	A319991222

FAN 1998:485029

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9829376	A1	19980709	WO 1997-US23920	19971217

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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				US 1996-33881P P 19961224
AU 9857199		A1	19980731	AU 1998-57199 19971217
AU 738430		B2	20010920	
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				US 1996-33881P P 19961224
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US23920W 19971217
CN 1240418		A	20000105	CN 1997-180752 19971217
CN 1132807		B	20031231	
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
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				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US23920W 19971217
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				US 1996-33881P P 19961224
				WO 1997-US23920W 19971217
ZA 9711453		A	19980914	ZA 1997-11453 19971219
				US 1996-32453P P 19961219
US 6133409		A	20001017	US 1998-103872 19980624
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
CA 2315152		AA	19990624	CA 1998-2315152 19981214
				WO 1997-US23920A 19971217
				US 1998-90558P P 19980624
				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214
WO 9931491		A1	19990624	WO 1998-US26512 19981214
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				WO 1997-US23920A 19971217
				US 1998-90558P P 19980624
				US 1998-90563P P 19980624
AU 9925569		A1	19990705	AU 1999-25569 19981214
AU 748529		B2	20020606	
				WO 1997-US23920A 19971217
				US 1998-90558P P 19980624
				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214
EP 1044364		A1	20001018	EP 1998-966876 19981214

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO

				WO 1997-US23920W 19971217
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				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214
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				US 1998-90558P P 19980624
				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214
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				WO 1997-US23920W 19971217
				US 1998-90558P P 19980624
				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214
	ZA 9811577	A	20000113	ZA 1998-11577 19981217
				WO 1997-US23920A 19971217
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				US 1996-33881P P 19961224
				WO 1997-US23920W 19971217
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				US 1996-33881P P 19961224
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				US 1996-33881P P 19961224
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				WO 1997-US23920A219971217
				US 1998-103872 A219980624
				WO 1999-US14251A219990623
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				US 1997-62318P P 19971017
				US 1997-65902P P 19971117
				WO 1997-US23920W 19971217
				WO 1998-US26512W 19981214
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				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214
	US 2002183558	A1	20021205	US 2002-107771 20020327
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				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
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				WO 1999-US14251A219990623
				US 1999-469829 A319991222

FAN 1999:405161

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931491	A1	19990624	WO 1998-US26512	19981214

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			WO 1997-US23920A 19971217
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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			US 1996-33881P P 19961224
CA 2315152	AA	19990624	CA 1998-2315152 19981214
			WO 1997-US23920A 19971217
			US 1998-90558P P 19980624
			US 1998-90563P P 19980624
			WO 1998-US26512W 19981214
AU 9925569	A1	19990705	AU 1999-25569 19981214
AU 748529	B2	20020606	
			WO 1997-US23920A 19971217
			US 1998-90558P P 19980624
			US 1998-90563P P 19980624
			WO 1998-US26512W 19981214
EP 1044364	A1	20001018	EP 1998-966876 19981214

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO

			WO 1997-US23920W 19971217
			US 1998-90558P P 19980624
			US 1998-90563P P 19980624
			WO 1998-US26512W 19981214
BR 9813701	A	20001212	BR 1998-13701 19981214
			WO 1997-US23920A 19971217
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			WO 1998-US26512W 19981214
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			WO 1997-US23920W 19971217
			US 1998-90558P P 19980624
			US 1998-90563P P 19980624
			WO 1998-US26512W 19981214
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			US 1998-90558P P 19980624
			US 1998-90563P P 19980624
			WO 1998-US26512A219981214
NO 2000003107	A	20000726	NO 2000-3107 20000616
			US 1997-62318P P 19971017
			US 1997-65902P P 19971117

				WO 1997-US23920W 19971217
				WO 1998-US26512W 19981214
	BG 104614	A	20011031	BG 2000-104614 20000714
				WO 1997-US23920A 19971217
				US 1998-90558P P 19980624
				US 1998-90563P P 19980624
				WO 1998-US26512W 19981214

FAN 1999:819328

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	WO 9967192	A2	19991229	WO 1999-US14251 19990623
	WO 9967192	A3	20000406	
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1998-103872 A219980624
	US 6133409	A	20001017	US 1998-103872 19980624
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
	CA 2335511	AA	19991229	CA 1999-2335511 19990623
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623
	EP 1089958	A2	20010411	EP 1999-930627 19990623
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
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				WO 1999-US14251W 19990623
	JP 2002518553	T2	20020625	JP 2000-555848 19990623
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623
	AU 768182	B2	20031204	AU 1999-47127 19990623
	AU 9947127	A1	20000110	
				AU 1998-57199 A319971217
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623
	US 6392010	B1	20020521	US 1999-469829 19991222
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
				US 1998-103872 A219980624
				WO 1999-US14251A219990623
	NO 2000006566	A	20010222	NO 2000-6566 20001221
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623
	BG 105166	A	20010731	BG 2001-105166 20010118
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623

	US 2002183558	A1	20021205	US 2002-107771 20020327
	US 6710208	B2	20040323	
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
				US 1998-103872 A219980624
				WO 1999-US14251A219990623
				US 1999-469829 A319991222

FAN 1999:819359

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	WO 9967228	A1	19991229	WO 1999-US14252 19990623
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1998-90558P P 19980624
	CA 2335760	AA	19991229	CA 1999-2335760 19990623
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623
	AU 9947128	A1	20000110	AU 1999-47128 19990623
	AU 764153	B2	20030814	
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623
	BR 9911487	A	20010320	BR 1999-11487 19990623
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623
	EP 1089988	A1	20010411	EP 1999-930628 19990623
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623
	JP 2002518555	T2	20020625	JP 2000-555882 19990623
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623
	US 6639023	B1	20031028	US 2000-487950 20000119
				US 1998-90558P P 19980624
				WO 1999-US14252A119990623
	NO 2000006662	A	20001227	NO 2000-6662 20001227
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623
	BG 105143	A	20010731	BG 2001-105143 20010111
				US 1998-90558P P 19980624
				WO 1999-US14252W 19990623

FAN 2000:738889

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 6133409	A	20001017	US 1998-103872 19980624
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102

			US 1997-928943 A219970912
			WO 1997-US23920A219971217
WO 9724117	A1	19970710	WO 1997-US264 19970102
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
			US 1996-9484P P 19960102
US 6057369	A	20000502	US 1997-928943 19970912
			US 1996-9484P P 19960102
			WO 1997-US264 A119970102
WO 9829376	A1	19980709	WO 1997-US23920 19971217
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
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			US 1996-33881P P 19961224
ZA 9711453	A	19980914	ZA 1997-11453 19971219
			US 1996-32453P P 19961219
CA 2335511	AA	19991229	CA 1999-2335511 19990623
			US 1998-103872 A 19980624
			WO 1999-US14251W 19990623
WO 9967192	A2	19991229	WO 1999-US14251 19990623
WO 9967192	A3	20000406	
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			US 1998-103872 A219980624
EP 1089958	A2	20010411	EP 1999-930627 19990623
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			US 1998-103872 A 19980624
			WO 1999-US14251W 19990623
JP 2002518553	T2	20020625	JP 2000-555848 19990623
			US 1998-103872 A 19980624
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EP 1359136	A2	20031105	EP 2003-76717 19990623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY			
			US 1998-103872 A 19980624
			EP 1999-930627 A319990623
AU 768182	B2	20031204	AU 1999-47127 19990623
AU 9947127	A1	20000110	

				AU 1998-57199 A319971217
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				WO 1999-US14251W 19990623
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				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
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	NO 2000006566	A	20010222	NO 2000-6566 20001221
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623
	BG 105166	A	20010731	BG 2001-105166 20010118
				US 1998-103872 A 19980624
				WO 1999-US14251W 19990623
	US 2002183558	A1	20021205	US 2002-107771 20020327
	US 6710208	B2	20040323	
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				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
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				WO 1999-US14251A219990623
				US 1999-469829 A319991222

FAN 2002:387618

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	US 6392010	B1	20020521	US 1999-469829 19991222
				US 1996-32453P P 19961219
				US 1996-33881P P 19961224
				WO 1997-US264 A119970102
				US 1997-928943 A219970912
				WO 1997-US23920A219971217
				US 1998-103872 A219980624
				WO 1999-US14251A219990623
	WO 9724117	A1	19970710	WO 1997-US264 19970102

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

				US 1996-9484P P 19960102
	US 6057369	A	20000502	US 1997-928943 19970912
				US 1996-9484P P 19960102
				WO 1997-US264 A119970102
	WO 9829376	A1	19980709	WO 1997-US23920 19971217

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			US 1996-32453P P 19961219
			US 1996-33881P P 19961224
ZA 9711453	A	19980914	ZA 1997-11453 19971219
			US 1996-32453P P 19961219
US 6133409	A	20001017	US 1998-103872 19980624
			US 1996-32453P P 19961219
			US 1996-33881P P 19961224
			WO 1997-US264 A119970102
			US 1997-928943 A219970912
			WO 1997-US23920A219971217
WO 9967192	A2	19991229	WO 1999-US14251 19990623
WO 9967192	A3	20000406	

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

			US 1998-103872 A219980624
US 2002183558	A1	20021205	US 2002-107771 20020327
US 6710208	B2	20040323	
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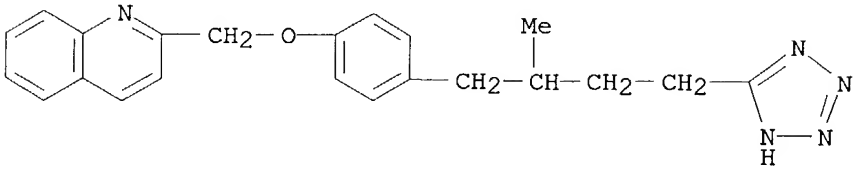
			US 1996-33881P P 19961224
			WO 1997-US264 A119970102
			US 1997-928943 A219970912
			WO 1997-US23920A219971217
			US 1998-103872 A219980624
			WO 1999-US14251A219990623
			US 1999-469829 A319991222

OS MARPAT 130:320864

IT **114497-47-1P 123225-61-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPAR-γ-binding quinoline derivative preparation and therapeutic use)

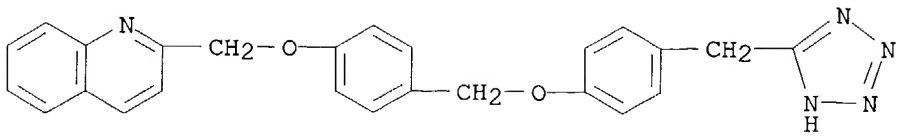
RN 114497-47-1 CAPLUS

CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 123225-61-6 CAPLUS

CN Quinoline, 2-[[4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



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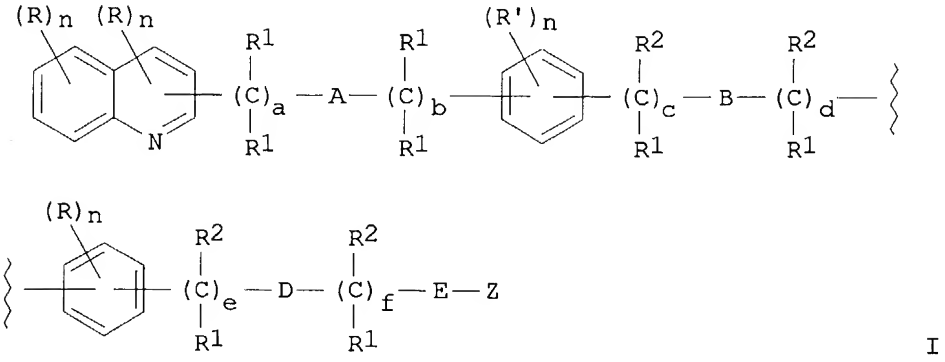


I

AB A method for mediating the activity of PPAR-γ receptor comprises contacting the PPAR-γ receptor with I [A = O, S, (R1)C=C(R1), bond; B = O, S, SO, SO2, NR1, bond; D = O, S, NR1, (R1)C=C(R1), bond; E = bond; a = 0-2; b = 0, 1; c = 0-4; d = 0-5; e = 0-4; f = 0-5; n = 0-2; R = H; R' = H; R1 = H; R2 = (CH2)qX, or two vicinal R2 taken together with the carbon atoms through which the two vicinal R2 are linked form cycloalkylene, etc.; q = 0-3; X = H]. Preparation of I is described. The compds. may be used to treat cardiovascular conditions, diabetes, hyperlipidemia, hypertension, eating disorders, etc.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:184126 CAPLUS
DN 130:237567
TI Preparation of phenylalkanoic acid derivatives as peroxisome proliferator-activated receptor controllers
IN Tajima, Hisao; Nakayama, Yoshisuke; Fukushima, Daikichi
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9911255	A1	19990311	WO 1998-JP3760	19980825

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,

UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 1997-233158 19970828
JP 1997-348825 19971218

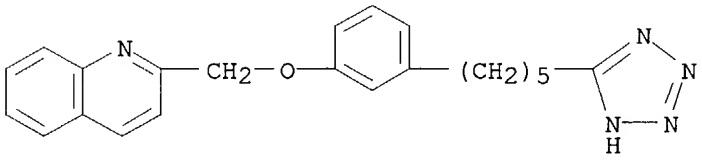
AU 9887502 A1 19990322
AU 1998-87502 19980825
JP 1997-233158 19970828
JP 1997-348825 19971218
WO 1998-JP3760 19980825

OS MARPAT 130:237567

IT **221268-22-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor controllers for treatment of diseases)

RN 221268-22-0 CAPLUS

CN Quinoline, 2-[[3-[5-(1H-tetrazol-5-yl)pentyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



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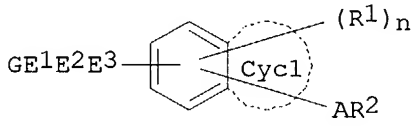

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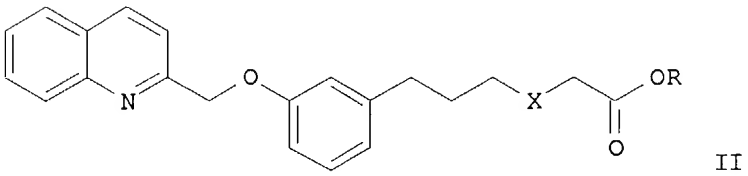


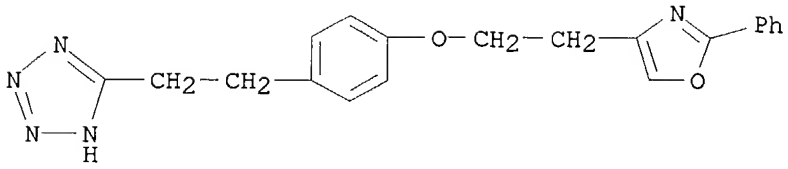
II

AB Claimed are peroxisome proliferator-activated receptor controllers containing as the active ingredient compds. represented by general formula [I; R1 = C1-8 alkyl or alkoxy, halo, NO2, CF3; R2 = CO2H, C1-4 alkoxycarbonyl, 1H-tetrazol-5-yl; A = single bond, :CH, C1-8 alkylene or C2-8 alkenylene, :CH-C1-8 alkylene, or :CH-C2-8 alkenylene (wherein one of C1-8 alkylene or C2-8 alkenylene carbon atoms is optionally replaced with S, SO, SO2, O, NH, or alkyl-N); G = (un)substituted carbocyclic or heterocyclic; E1 = single bond, C1-8 alkylene, C2-8 alkenylene, C2-8 alkynylene; E2 = O, S, NH, C1-8 alkyl-N; E3 = single bond, C1-8 alkylene; n = 0,1; ring Cycl =

absent, saturated, partially saturated, or unsatd. 5- to 7-membered carbocyclic ring; some provisos are given], nontoxic salts thereof, acid addition salts thereof or hydrates of the same. Because of the activity of controlling a peroxisome proliferator-activated receptor, the compds. of general formula I are useful as hypoglycemic agents, lipid-lowering agents, HDL cholesterol-increasing agents, LDL cholesterol- and/or VLDL cholesterol-lowering agents, risk factor decreasing agents for diabetes and syndrome X, and preventives and/or remedies for diseases caused by metabolic errors, such as diabetes, obesity, syndrome X, hypercholesterolemia and hyperlipoproteinemia, hyperlipemia, arteriosclerosis, hypertension, circulatory diseases, hyperphagia, and ischemic heart diseases. Thus, 5.98 g Me 6-(3-hydroxyphenyl)hexanoate (preparation given) was stirred with K2CO3 in DMF at room temperature for 5 min and then with 2-chloromethylquinoline hydrochloride 7.49, NaI 4.44, and Cs2CO3 8.77 g at room temperature for 3 h to give Me 6-[3-(quinolin-2-ylmethoxy)phenyl]hexanoate (II; X = CH2, R = Me). Preparation of 329 compds. I by the solid phase method on Wang resin was also described. II (X = S, R = H) mixed in a feed was fed to mice at 159 mg/kg/day for 8 consecutive days. The blood sugar level was 431±76.4, 309.4±99.5, and 324.5±26.6 mg/dL on day 0, 6, and 9, resp., vs. 440.7±102.7, 442.6±108.3, and 518.8±48.6 mg/dL, resp., for the control. The blood triglyceride level was 429.2±80.6, 248.8±64.7, and 260.6±71.2 mg/dL on day 0, 6, and 9, resp., vs. 436.1±97.5, 367.6±64.1, and 272.3±48.2 mg/dL, resp., for the control. A tablet and an ampule formulation containing II (X = CH2, R = H) were described.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

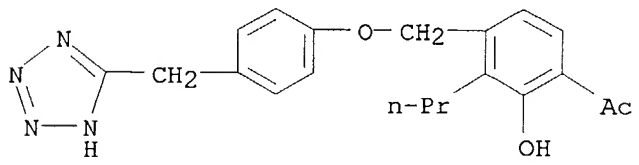
L3 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:739153 CAPLUS
DN 128:70373
TI Monoclonal antibodies as surrogate receptors in a high throughput screen for compounds that enhance insulin sensitivity
AU Bright, Stuart W.; Gold, Gerald; Sage, Scott W.; Sportsman, J. Richard; Tinsley, Frank C.; Dominianni, Samuel J.; Schmiegel, Klaus K.; Kellam, Marcia L.; Fitch, Lora L.; Yen, Terence T.
CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Life Sciences (1997), 61(23), 2305-2315
CODEN: LIFSAK; ISSN: 0024-3205
PB Elsevier
DT Journal
LA English
IT **200572-13-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monoclonal antibodies as surrogate receptors in high throughput screen for insulin sensitivity enhancers in relation to hypoglycemic activity and binding to peroxisome proliferator-activating receptors)
RN 200572-13-0 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)



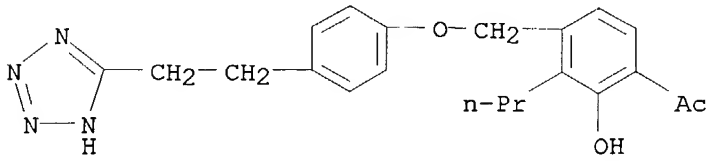
AB Monoclonal antibodies (MoAbs) were made to a known insulin sensitivity enhancer (ISE) compound, CS-045. The MoAbs were characterized with respect to binding other known thiazolidinedione ISE compds. using a CS-045 labeled with b-phycoerythrin in a competitive particle concentration fluorescence immunoassay (PCFIA). By comparing the rank order of IC50 values for each compound to its resp. potency as an ISE, one MoAb (13E3) was selected for further characterization. This MoAb was also used as a surrogate receptor in a high throughput screen to identify novel compds. that compete for binding to CS-045. Some of the hits were found to have efficacy in reducing blood glucose. Subsequently, another group reported that several compds. with the core thiazolidinedione structure of the ISE compds. bound with high affinity to peroxisome proliferator-activating receptors (PPAR). Therefore, the authors used the MoAb assay to test these and other compds. that are known to bind to PPARγ and noted crossreactivity with some of the compds.

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

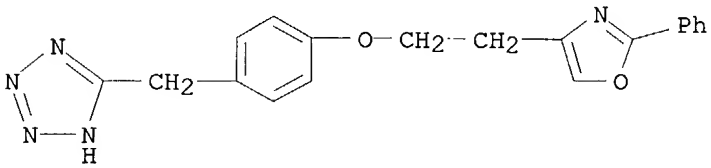
L3 ANSWER 26 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:555602 CAPLUS
DN 127:257045
TI Competitive particle concentration fluorescence immunoassays for measuring antidiabetic drug levels in mouse plasma
AU Bright, Stuart W.; Tinsley, Frank C.; Dominianni, Samuel J.; Schmiegel, Klaus K.; Fitch, Lora L.; Gold, Gerald
CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Journal of Immunological Methods (1997), 207(1), 23-31
CODEN: JIMMBG; ISSN: 0022-1759
PB Elsevier
DT Journal
LA English
IT **97581-70-9 97581-72-1 196079-46-6**
RL: ANT (Analyte); ANST (Analytical study)
(competitive particle concentration fluorescence immunoassays for measuring antidiabetic drug levels in mouse plasma)
RN 97581-70-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 97581-72-1 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 196079-46-6 CAPLUS
CN 1H-Tetrazole, 5-[[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



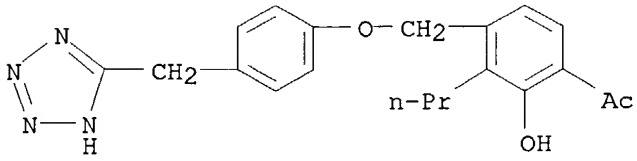
AB Two competitive particle concentration fluorescence immunoassays were developed to measure blood levels of analogs of antidiabetic drugs being tested in diabetic mice. Ligands that contained the active pharmacophores were conjugated to PPD for immunization and to β-phycoerythrin for use as a tracer in the immunoassays. Approx. 90% of 262 compds. assayed were detectable at less than 120 nM in plasma which was well below the estimated therapeutic level of 1 μM for lowering blood glucose. These data were used to define the bioavailability of test compds. and assist in decisions of constructing active analogs. Of addnl. interest, we noted crossreactivity of one monoclonal antibody for 3 different compound classes that are all known to bind with varying affinities to peroxisome proliferator-activated receptors.

L3 ANSWER 27 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:399264 CAPLUS
DN 127:75985
TI Effects of progesterone and leukotriene receptor antagonists in experimental models of P-glycoprotein-related resistance
AU Nuessler, V.; Pelka-Fleischer, R.; Zwierzina, H.; Wilmanns, W.; Denzlinge, C.
CS Klinikum Grosshadern, Medizinische Klinik und Poliklinik III, Munich, Germany
SO European Journal of Medical Research (1997), 2(4), 159-164
CODEN: EJMRFL; ISSN: 0949-2321
PB I. Holzapfel Publishers
DT Journal
LA English
IT **97581-70-9**, Ly-163443
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(progesterone and leukotriene receptor antagonists effect in multidrug resistance exptl. models of P-glycoprotein-related resistance)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB P-glycoprotein (P-gp)-related resistance is one of the most intensively investigated mechanisms of multidrug resistance, but the search for better modulators and better modulator combinations has just begun. The present work was performed to determine whether leukotriene LTD4 /LTE4 receptor antagonists such as FPL-55712, Ly-163443, Ly-171883, MK-571 and the progesterone receptor antagonist RU-38486 are potential P-gp modulators in models of P-gp-related resistance. Addnl., the P-gp modulating potency of the combination of RU-38486 and verapamil was investigated. P-gp expression was determined with the monoclonal antibody 4E3.16, and functional activity was assessed by the Rhodamine123 (R123) accumulation assay. Efficacy of the modulators was determined with the MTT test and the R123 accumulation assay. The in vitro examns. were done in the P-gp-resistant human T-lymphoblastic cell lines CCRF-CEM/ ACT400 and CCRF-CEM/VCR1000. No P-gp-modulating effect was observed with Ly-163443, Ly-171883, FPL-55712 or MK-571. A significant cytotoxicity of the examined modulators per se (without actinomycin D or vincristine) was demonstrated only for verapamil at a concentration of 10μM. At a concentration of 10μM a significant P-gp modulating effect was observed with RU-38486, which was even more pronounced than the effect of verapamil as determined by the MTT test. Using the R123 accumulation assay it was shown that the combination of RU-38486 (6μM and 10μM) and verapamil additively increased the percentage of accumulating cells. This additive effect was reflected by a significantly enhanced efficacy of the combination of drugs with respect to inhibition of cell proliferation. The data presented advocate testing of new potential P-gp modulator combinations, such as RU-38486 and verapamil, with the aim of increasing efficacy and simultaneously reducing side effects.

L3 ANSWER 28 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:231091 CAPLUS

DN 126:212376

TI Preparation of aminoacyl adenylate mimics as novel antimicrobial and antiparasitic agents

IN Hill, Jason M.; Yu, Guixue; Shue, Youe-Kong; Zydowsky, Thomas M.; Rebek, Julius, Jr.

PA Cubist Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 9705132	A1	19970213	WO 1996-US11910	19960718
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
				US 1995-1649P P	19950728
				US 1996-14881P P	19960404
				US 1996-683809 A	19960716
	US 5726195	A	19980310	US 1996-683809	19960716
	AU 9665006	A1	19970226	AU 1996-65006	19960718
				US 1995-1649P P	19950728
				US 1996-14881P P	19960404
				US 1996-683809 A	19960716
				WO 1996-US11910W	19960718

OS MARPAT 126:212376

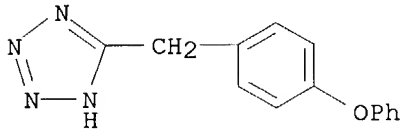
IT **188022-44-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

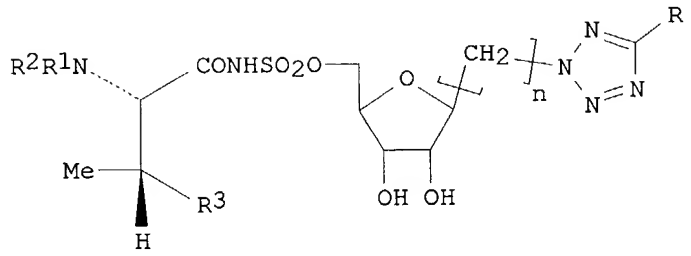
(preparation of aminoacyl adenylate mimics as novel antimicrobial and antiparasitic agents)

RN 188022-44-8 CAPLUS

CN 1H-Tetrazole, 5-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



GI



I

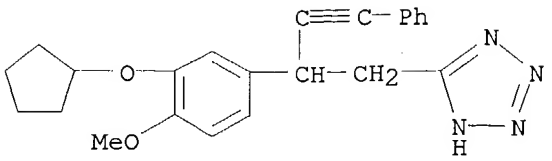
AB Aminoacyl adenylate mimics I (R = amino, alkyl, aryl, cycloalkyl, alkoxy, aryloxy; R1,R2 = alkyl, aryl, carboalkoxy; alkylthiocarbonyl, carboxamido, acyl; R3 = Et, OMe; n = 1, 2) are described. An exemplary compound of this invention is [S-(R*,R*)]-3,6-anhydro-1,2-dideoxy-1-[5-[4-[(5-nitro-2-thienyl)ethynyl]phenyl]-2H-tetrazol-2-yl]-D-allo-heptitol 7-(2-amino-3-methyl-1-oxopentyl)sulfamate. These compds. inhibit isoleucyl-tRNA synthetases and are useful as antimicrobial and antiparasitic agents such as multi-drug resistant Streptococcus pyogenes

(IC50 = 0.3-11 nM).

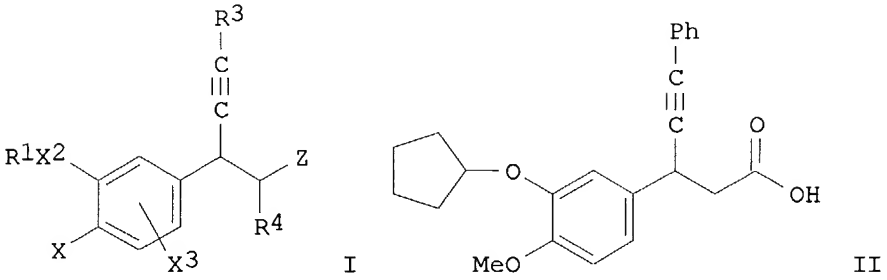
L3 ANSWER 29 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:224040 CAPLUS
DN 126:211918
TI Substituted pent-4-ynoic acids useful for inhibiting production of tumor necrosis factor (TNF)
IN Christensen, Siegfried B., IV; Karpinski, Josph M.; Frazee, James S.
PA Smithkline Beecham Corporation, USA; Christensen, Siegfried B., IV.; Karpinski, Josph M.; Frazee, James S.
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9703945	A1	19970206	WO 1996-US11613	19960712
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			US 1995-1196P P	19950714
			US 1996-16717P P	19960502
AU 9664903	A1	19970218	AU 1996-64903	19960712
			US 1995-1196P P	19950714
			US 1996-16717P P	19960502
			WO 1996-US11613W	19960712
EP 827495	A1	19980311	EP 1996-924459	19960712
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
			US 1995-1196P P	19950714
			US 1996-16717P P	19960502
			WO 1996-US11613W	19960712
US 6037367	A	20000314	US 1998-716359	19980914
			US 1995-1196P P	19950714
			US 1996-16717P P	19960502
			WO 1996-US11613W	19960712

OS MARPAT 126:211918
IT **188008-33-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pentynoic acids useful as inhibitors of TNF production)
RN 188008-33-5 CAPLUS
CN 1H-Tetrazole, 5-[2-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-phenyl-3-butynyl]- (9CI) (CA INDEX NAME)



GI



I II

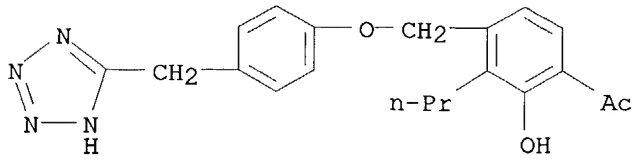
AB Title compds. I [R1 = wide variety of sidechains containing esters, amides, ethers, and a variety of functional groups; X = YR2, F, (di)(alkyl)amino, formylamino; Y = O, S, SO, SO2; X2 = O, NH, (fluoro)(alkyl)imino; X3 = H, X; Z = acyl, CO2H and derivs., NH2 and derivs., certain (un)substituted azoles; R2 = Me, Et, or their halo derivs.; R3 = H, alkyl, Ph, phenylalkyl, pyrimidyl(alkyl), imidazolyl(alkyl); R4 = H, acyl, CO2H or esters, CONH2 or derivs., OH or SH or derivs.] and their pharmaceutically acceptable salts are claimed, and approx. 140 examples were prepared As inhibitors of the enzyme PDE IV (no data), I are useful for treatment of allergy, inflammation, and asthma. As inhibitors of TNF (tumor necrosis factor) production in mammals (no data), I are also useful for treating viral infections (including HIV) and yeast or fungal infections which are sensitive to TNF. For instance, the acid II was prepared in 3 steps. Specifically, 2,2-dimethyl-1,3-dioxane-4,6-dione was condensed with 3-(cyclopentyloxy)-4-methoxybenzaldehyde to give the 5-benzylidene derivative (93%), which underwent alkynylation with PhC.tplbond.CLi (84%), followed by hydrolysis with aqueous HCl in dioxane, and thermal decarboxylation in AcNMe2 at 135° (82%), to give II.

L3 ANSWER 30 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:547222 CAPLUS
DN 125:237599
TI A proposed common spatial pharmacophore and the corresponding active conformations of some peptide leukotriene receptor antagonists
AU Hariprasad, V.; Kulkarni, Vithal M.
CS Pharmaceutical Div., Dep. Chem. Technology, Univ. Bombay, Bombay, 400019, India
SO Journal of Computer-Aided Molecular Design (1996), 10(4), 284-292
CODEN: JCADEQ; ISSN: 0920-654X
PB ESCOM
DT Journal
LA English
IT **97581-70-9**, LY163443

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(proposed common spatial pharmacophore and corresponding active conformations of peptide leukotriene receptor antagonists determined by QSAR)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



AB Mol. modeling studies were carried out by a combined use of conformational anal. and 3D-QSAR methods to identify mol. features common to a series of hydroxyacetophenone (HAP) and non-hydroxyacetophenone (non-HAP) peptide leukotriene (pLT) receptor antagonists. In attempts to develop a ligand-binding model for the pLT receptor, the Apex-3D program was used to identify biophoric structural patterns that are common to 13 diverse sets of compds. showing different levels of biol. activity. A systematic conformational anal. was carried out to obtain sterically accessible conformations for these flexible compds. Apex-3D was then utilized to propose common biophoric regions based on the selection of one of several conformations (MOPAC-minimized AM1) from each compound's data set that best fits the biophoric pattern and the resulting superimposition with all the other data-set compds. Apex-3D identified three common biophoric features important for activity: one as the hydroxyl, acetyl, carbonyl and carboxyl groups, which mimic the acid-binding region of an agonist, the other as the hydrogen-bond donating site, and the third part is represented by a plane in which lipophilic aromatic groups align. The structure-activity relationships were then assessed by using the 3D-QSAR model. A common biophore model is proposed from the Apex-3D anal. which may be useful in designing new pLT antagonists. Mol. vols. and electrostatic potential similarities were also calculated to obtain the important structural requirements for the activity.

L3 ANSWER 31 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:326164 CAPLUS

DN 125:10826

TI Preparation of p-[(phenoxy or benzyloxy)phenoxy]benzylazole derivatives for lowering blood sugar

IN Niigata, Kunihiro; Takahashi, Takumi; Maruyama, Tatsuya; Suzuki, Takayuki; Onda, Kenichi; Konya, Tooru; Noshiro, Osamu

PA Yamanouchi Pharma Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

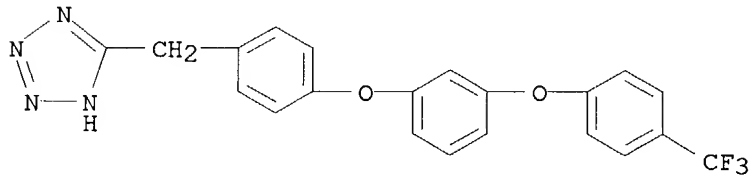
PI JP 08059638 A2 19960305 JP 1994-202503 19940826
JP 1994-202503 19940826

OS MARPAT 125:10826

IT **177031-80-0P 177031-81-1P 177031-92-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of p-[(phenoxy or benzyloxy)phenoxy]benzylazole derivs. for lowering blood sugar as antidiabetics)

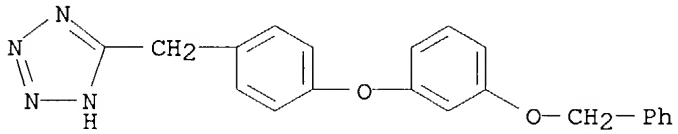
RN 177031-80-0 CAPLUS

CN 1H-Tetrazole, 5-[[4-[3-[4-(trifluoromethyl)phenoxy]phenoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



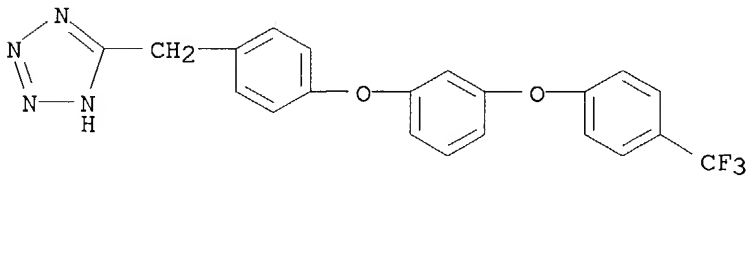
RN 177031-81-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[3-(phenylmethoxy)phenoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 177031-92-4 CAPLUS

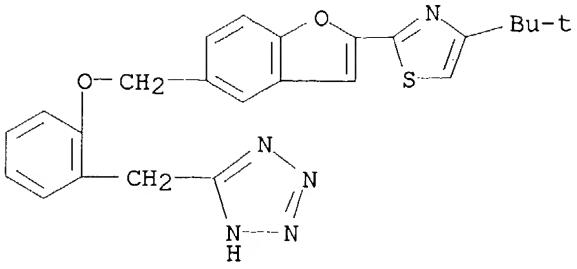
CN 1H-Tetrazole, 5-[[4-[3-[4-(trifluoromethyl)phenoxy]phenoxy]phenyl]methyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

GI For diagram(s), see printed CA Issue.

AB The title compds. (I; ring A = imidazolyl, tetrazolyl, Q, Q1; wherein X = O, S, NH; Y = N, CH; R1 = H, halo, lower alkyl, lower hydroxyalkyl, lower alkoxy, CF3, NO2, CO2H, lower alkoxycarbonyl, CH2 NHCONHCO2R5, CH:NOH; wherein R5 = H, lower alkyl; R2, R3 = H, halo; R4 = H, HO; n = 0,1), which lower blood sugar based on the enhancement of insulin sensitivity, have



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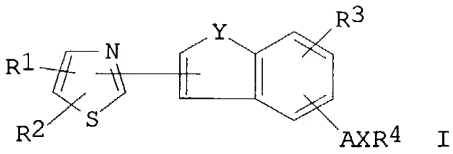


I

AB Title compds. I (R1 = (substituted) alkyl, tricycloalkyl (substituted) aryl, heterocyclyl; R2 = H, halo; R1R2 with the adjacent carbons from cycloalkyl or N-containing substituted heterocyclyl; R3 = H, halo, HO, alkyl, alkoxy; R4 = H, acyl, NC, (substituted) aryl, (substituted) alkyl, etc.; A = alkylene, alkenylene, bond; X = bond, O, S; Y = O, S) and a salt thereof, possessing leukotriene antagonistic activity and useful for treatment(or) prevention of allergy and inflammation (no data), are prepared 4-Tert-butyl-2-[5-[[2-(chloromethyl)phenyl]methoxy]benzofurane-2-yl]thiazole KCN and Adogen 464 in MePh/H2O were refluxed for 4 h to give the cyanomethyl derivative which with 40% aqueous KOH in carbitol was heated at 110-120° for 1.5 h to give after workup the title compound 4-tert-butyl-2-[5-[[2-(carboxymethyl)phenyl]methoxy]benzofuran-2-yl]thiazole (II). II inhibited 3H-leukotrien D4 receptor binding with IC50 of 1.38 + 10-4M.

L3 ANSWER 37 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:490271 CAPLUS
DN 117:90271
TI Preparation of certain 3,3'-[[[(2-phenyl-4-thiazolyl)methoxy]phenyl]methylene]dithiobis(propanoic acid) derivatives and related compounds as leukotriene antagonists and lipoxygenase inhibitors
IN Musser, John H.; Bender, Reinhold H. W.; Kreft, Anthony F., III; Nelson, James A.
PA American Home Products Corp., USA
SO U.S., 18 pp. Cont.-in-part of U.S. 4,895,953.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5103014	A	19920407	US 1990-525418	19900518
				US 1987-103224	19870930
				US 1989-311558	19890215
	US 4826990	A	19890502	US 1987-103224	19870930

	US 4942236	A	19900717	US 1989-311558	19890215
				US 1987-103224	19870930

PATENT FAMILY INFORMATION:

FAN 1989:553787

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310370	A1	19890405	EP 1988-309014	19880929
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1987-103224	19870930
	US 4826990	A	19890502	US 1987-103224	19870930
	AU 8822896	A1	19890406	AU 1988-22896	19880928
	AU 611714	B2	19910620		
				US 1987-103224	19870930
	GB 2210368	A1	19890607	GB 1988-22839	19880929
	GB 2210368	B2	19920325		
				US 1987-103224	19870930
	JP 01143856	A2	19890606	JP 1988-248900	19880930
				US 1987-103224	19870930
	US 4895953	A	19900123	US 1989-311011	19890215
				US 1987-103224	19870930

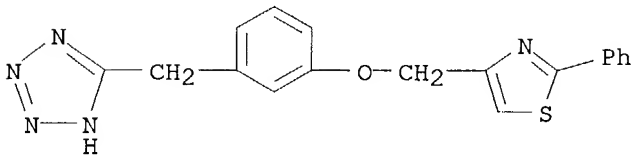
OS MARPAT 117:90271

IT **122994-31-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as lipoxygenase inhibitor and leukotriene antagonist)

RN 122994-31-4 CAPLUS

CN 1H-Tetrazole, 5-[[3-[(2-phenyl-4-thiazolyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



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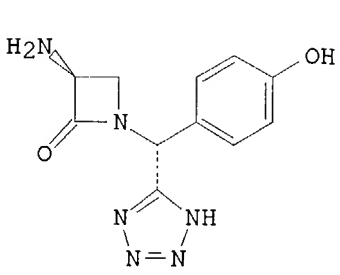

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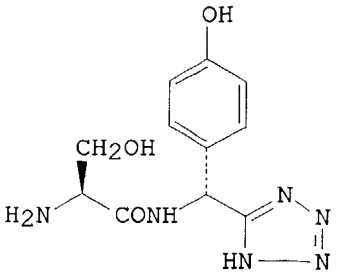


II

10 of 67

AB The synthesis of the title tetrazole analog I is described. Peptide analog II was also prepared

L3 ANSWER 45 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:478185 CAPLUS
DN 113:78185
TI Preparation of 2-(phenoxymethyl)quinolines and analogs as antiallergic and antiinflammatory agents
IN Musser, John H.; Kubrak, Dennis M.; Kreft, Anthony F., III; Bender, Reinhold H. W.
PA American Home Products Corp., USA
SO U.S., 13 pp. Cont.-in-part of U.S. 4,772,703.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4904786	A	19900227	US 1988-231130	19880811
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515
	US 4581457	A	19860408	US 1984-653733	19840921
	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
	US 4772703	A	19880920	US 1987-50595	19870515
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127

PATENT FAMILY INFORMATION:
FAN 1986:442784

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4581457	A	19860408	US 1984-653733	19840921
	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
	US 4772703	A	19880920	US 1987-50595	19870515
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
	US 4904786	A	19900227	US 1988-231130	19880811

				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515

FAN 1988:21732

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 232954	A2	19870819	EP 1987-300038	19870106
	EP 232954	A3	19881123		
	R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
				US 1986-823163	19860127
				GB 1986-17471	19860717
	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016

FAN 1988:37668

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
	US 4581457	A	19860408	US 1984-653733	19840921
	GB 2185741	A1	19870729	GB 1986-30898	19861224
	GB 2185741	B2	19891025		
				US 1986-823163	19860127
				GB 1986-17471	19860717
	ZA 8700044	A	19880831	ZA 1987-44	19870105
				US 1986-823163	19860127
	AU 8767155	A1	19870730	AU 1987-67155	19870106
	AU 595848	B2	19900412		
				US 1986-823163	19860127
				GB 1986-17471	19860717
	EP 232954	A2	19870819	EP 1987-300038	19870106
	EP 232954	A3	19881123		
	R: AT, BE, CH, DE, ES, FR, GR, IT, LI, LU, NL, SE				
				US 1986-823163	19860127
				GB 1986-17471	19860717
	FI 8700298	A	19870728	FI 1987-298	19870123
				US 1986-823163	19860127
	DK 8700404	A	19870728	DK 1987-404	19870126
				US 1986-823163	19860127
	JP 62190159	A2	19870820	JP 1987-15894	19870126
				US 1986-823163	19860127
	HU 44511	A2	19880328	HU 1987-240	19870127
	HU 198021	B	19890728		
				US 1986-823163	19860127
	US 4772703	A	19880920	US 1987-50595	19870515
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
	US 4904786	A	19900227	US 1988-231130	19880811
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515

FAN 1989:173252

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

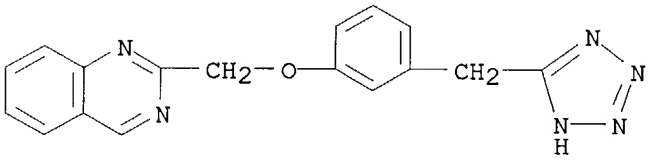
PI	US 4772703	A	19880920	US 1987-50595	19870515
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
	US 4581457	A	19860408	US 1984-653733	19840921
	US 4675405	A	19870623	US 1986-823163	19860127
				US 1984-653733	19840921
				US 1985-787939	19851016
	US 4904786	A	19900227	US 1988-231130	19880811
				US 1984-653733	19840921
				US 1985-787939	19851016
				US 1986-823163	19860127
				US 1987-50595	19870515

OS CASREACT 113:78185; MARPAT 113:78185

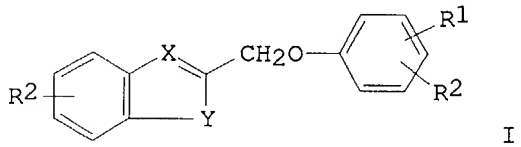
IT **120028-56-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiallergic and antiinflammatory agent)

RN 120028-56-0 CAPLUS

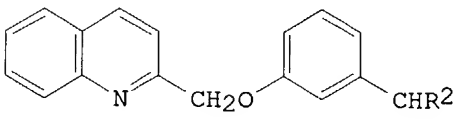
CN Quinazoline, 2-[[3-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



GI



I

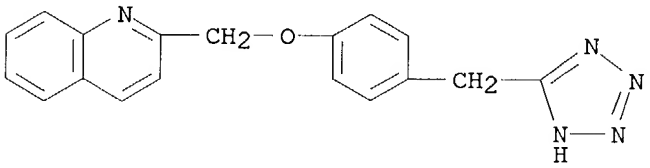


II

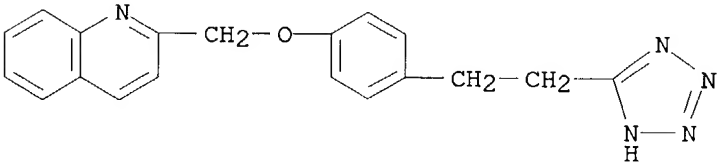
AB The title compds. [I; R1 = (CH2)nNR3SO2R5, CH(OR3)CH2NR3R4, CH(SCH2CH2CO2R3)2, etc.; R2 = H, alkyl, alkoxy(carbonyl), CF3, NO2, cyano, halo; R3 = H, alkyl; R4 = H, alkyl, CO2R3, CONR32; R5 = (fluoro)alkyl, (un)substituted Ph; X = N, CR3; Y = CR3:N, N:CR3, CR3:CR3, NR3] were prepared Thus, 3-HOC6H4CHO and HOCH2CH2OH were refluxed 2 days with H2O separation in PhMe containing 4-MeC6H4SO3H and the product refluxed 20 h with 2-chloromethylquinoline in Me2CO containing CsCO3 and KI to give title compound II (R2 = OCH2CH2O) which was stirred 1 h with HSCH2CH2CO2Me in CH2Cl2 containing BF3.Et2O to give II (R = SCH2CH2CO2Me). The latter gave 46% inhibition of leukotriene-induced bronchospasm in guinea pigs at 50 mg/kg

intragastrically.

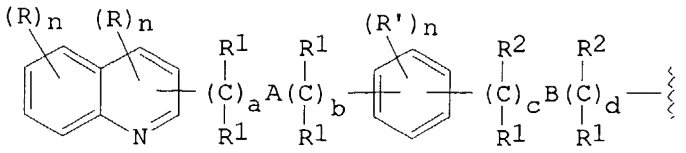
L3 ANSWER 46 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:131890 CAPLUS
DN 112:131890
TI Development of a novel series of (2-quinolinylmethoxy)phenyl-containing compounds as high-affinity leukotriene receptor antagonists. 1. Initial structure-activity relationships
AU Youssefyeh, Raymond D.; Magnien, Ernest; Lee, Thomas D. Y.; Chan, Wan Kit; Lin, Clara J.; Galemmo, Robert A., Jr.; Johnson, William H., Jr.; Tan, Jenny; Campbell, Henry F.; et al.
CS Rorer Cent. Res., Horsham, PA, 19044, USA
SO Journal of Medicinal Chemistry (1990), 33(4), 1186-94
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 112:131890
IT **107813-83-2P 114497-45-9P 114497-46-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and leukotriene antagonist activity of, antiallergy and antiasthmatic activities in relation to)
RN 107813-83-2 CAPLUS
CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

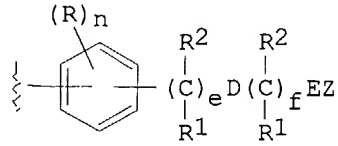


RN 114497-45-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

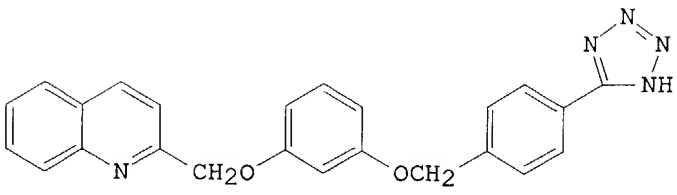


RN 114497-46-0 CAPLUS
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)





I



II

AB Quinolines I [A = O, S; B = O, S, SO, SO2, NR1, CO, NR1CO, CONR1; D = O, S, NR, CR1:CR1, bond; E = bond, CR1:CR1; a, n = 0-2; b = 0-1; c, e = 0-4; d, f = 0-5; R = H, alkyl, OH, alkoxy, CO2H, carbalkoxy, halo, NO2, haloalkyl, cyano, acyl; R' = H, alkyl, OH, alkoxy, halo, haloalkyl; R1 = H, alkyl, aralkyl; R2 = (CH2)xX; x = 0-3; X = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, aralkoxy, (di)(alkyl)amino, aralkylamino, acylamino, carbamyl, CO2H, carbalkoxy, tetrazolyl, acylsulfonamido; vicinal (R2)2 = (CH2)y; y = 1-4; geminal (R2)2 = (CH2)z; z = 2-5; geminal (R1)2, R1R2 = :CHR1; Z = CO2R1, cyano, CONHSO2R3, CON(R1)2, OR, tetrazolyl (may be substituted by alkyl, carboxyalkyl, or carbalkoxyalkyl); R3 = H, alkyl, haloalkyl, Ph, PhCH2] are prepared as lipoxygenase inhibitors and/or leukotriene antagonists (no data). Alkylation of Na 3-(2-quinolinylmethoxy)phenoxide by p-NCC6H4CH2Br in DMF gave 4-[3-(2-quinolinylmethoxy)phenoxymethyl]benzonitrile, which underwent cycloaddn. with HN3 (from NaN3 and pyridine-HCl) in DMF to give title [[(quinolinylmethoxy)phenoxymethyl]phenyl]tetrazole II.

L3 ANSWER 50 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:553787 CAPLUS
DN 111:153787
TI 2-Aryl-substituted heterocyclic compounds as antiallergic and anti-inflammatory agents
IN Musser, John Henry; Bender, Reinhold Hans Wilhelm; Kreft, Anthony Frank, III
PA American Home Products Corp., USA
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310370	A1	19890405	EP 1988-309014	19880929
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1987-103224	19870930

	US 4826990	A	19890502	US 1987-103224	19870930
	AU 8822896	A1	19890406	AU 1988-22896	19880928
	AU 611714	B2	19910620		
				US 1987-103224	19870930
	GB 2210368	A1	19890607	GB 1988-22839	19880929
	GB 2210368	B2	19920325		
				US 1987-103224	19870930
	JP 01143856	A2	19890606	JP 1988-248900	19880930
				US 1987-103224	19870930
	US 4895953	A	19900123	US 1989-311011	19890215
				US 1987-103224	19870930

PATENT FAMILY INFORMATION:

FAN 1992:490271

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5103014	A	19920407	US 1990-525418	19900518
				US 1987-103224	19870930
				US 1989-311558	19890215
	US 4826990	A	19890502	US 1987-103224	19870930
	US 4942236	A	19900717	US 1989-311558	19890215
				US 1987-103224	19870930

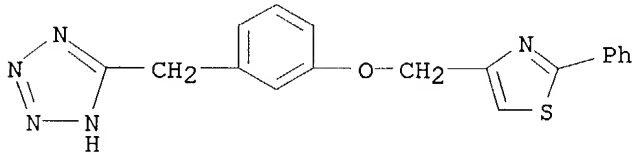
OS CASREACT 111:153787; MARPAT 111:153787

IT **122994-31-4P**

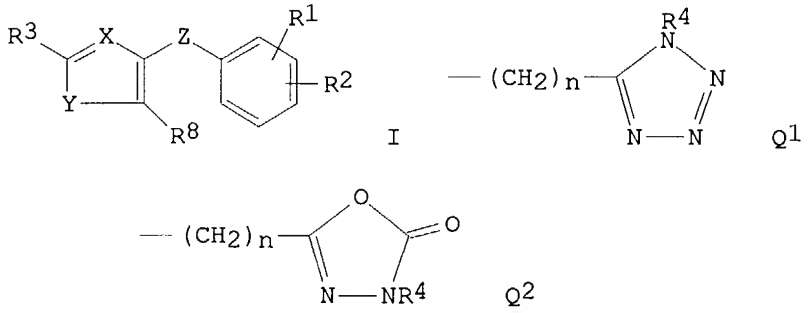
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation and allergy inhibitors)

RN 122994-31-4 CAPLUS

CN 1H-Tetrazole, 5-[[3-[(2-phenyl-4-thiazolyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



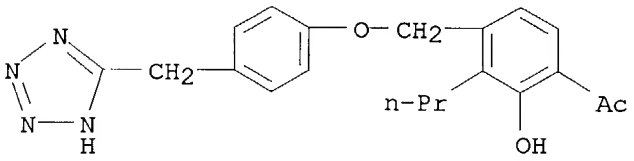
GI



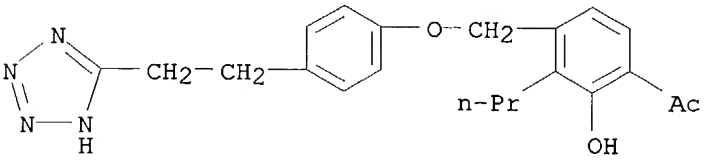
AB Title compds. I [X = CR4, N; Y = CR4:N, N:CR4, CR4:CR4, S, NR4; X = (CH2)nO, (CH2)S, (CH2)nNR4, CONR4, (CH2)nS(O), (CH2)nSO2, CR4:CR4,

C.tplbond.C; R1 = (CH2)nNR4SO2R5, CH(OR4)CH2NR4R6, (CH2)nCONR4SO2R5, (CHR7)nCO2R4, (CHR7)nCONR4OR4, (CH2)nCONHNH2, Q1, Q2; n = 0-5; R2, R8 = H, alkyl, alkoxy, alkoxycarbonyl, CF3, NO2, cyano, halo; R3 = R2C6H4W(CH2)m; (R2)2C6H3; W = O, S, NR4; m = 1-15; R4 = H, alkyl; R5 = alkyl, mono-, di-, poly-, or perfluoroalkyl, R2C6H4; R6 = H, alkyl, CO2R4, CON(R4)2; R7 = H, Me] are prepared Treatment of I (R1 = 3-NH2; R2 = H; R3 = 4-MeOC6H4; R8 = Me; X = N; Y = O) (preparation given) in CH2Cl2 with (CF3SO2)2O in the presence of Et3N gave I (R1 = 3-CF3SO2NH). The latter at 25 mg/kg intraduodenally showed 24% inhibition of leukotriene-induced bronchospasm in guinea pigs.

L3 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:548305 CAPLUS
DN 111:148305
TI Induction of peroxisomal β-oxidation in the rat liver in vivo and in vitro by tetrazole-substituted acetophenones: structure-activity relationships
AU Eacho, P. I.; Foxworthy, P. S.; Dillard, R. D.; Whitesitt, C. A.; Herron, D. K.; Marshall, W. S.
CS Lilly Res. Lab., Eli Lilly and Co., Greenfield, IN, 46140, USA
SO Toxicology and Applied Pharmacology (1989), 100(1), 177-84
CODEN: TXAPA9; ISSN: 0041-008X
DT Journal
LA English
IT **97581-70-9 97581-72-1 97582-01-9**
107223-54-1 123041-21-4
RL: BIOL (Biological study)
(β-oxidation induction by, in liver peroxisomes, structure in relation to)
RN 97581-70-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 97581-72-1 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 97582-01-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-[3-(1H-tetrazol-5-yl)propyl]phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:422970 CAPLUS
DN 109:22970
TI Preparation of quinolyl aryltetrazole ethers as inflammation inhibitors and allergy inhibitors
IN Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit; Lee, Thomas D. Y.
PA Rorer International (Overseas), Inc., USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8705510	A1	19870924	WO 1987-US560	19870311
	W: AU, JP, US, US, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
				US 1985-723781	19850416
				US 1986-839410	19860313
				US 1986-911028	19860924
	US 4631287	A	19861223	US 1985-723781	19850416
	US 4839369	A	19890613	US 1986-839410	19860313
				US 1985-723781	19850416
	US 4725619	A	19880216	US 1986-877568	19860623
				US 1985-723781	19850416
	US 4728668	A	19880301	US 1986-877570	19860623
				US 1985-723781	19850416
	US 4868193	A	19890919	US 1986-911028	19860924
	AU 8771623	A1	19871009	AU 1987-71623	19870311
	AU 612569	B2	19910718		
				US 1986-839410	19860313
				US 1986-911028	19860924
				WO 1987-US560	19870311
	EP 260305	A1	19880323	EP 1987-902015	19870311
	EP 260305	B1	19921216		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1986-839410	19860313
				US 1986-911028	19860924
	JP 63503139	T2	19881117	JP 1987-501942	19870311
				US 1986-839410	19860313
				US 1986-911028	19860924
				WO 1987-US560	19870311
	AT 83376	E	19930115	AT 1987-902015	19870311
				US 1986-839410	19860313
				US 1986-911028	19860924
				EP 1987-902015	19870311
				WO 1987-US560	19870311
	CA 1324142	A1	19931109	CA 1987-532055	19870313
				US 1986-839410	19860313
				US 1986-911028	19860924
	US 4874769	A	19891017	US 1988-124800	19880105
				US 1985-723781	19850416
				US 1986-839410	19860313
				US 1986-911028	19860924
				WO 1987-US560	19870311

PATENT FAMILY INFORMATION:

FAN 1987:176188

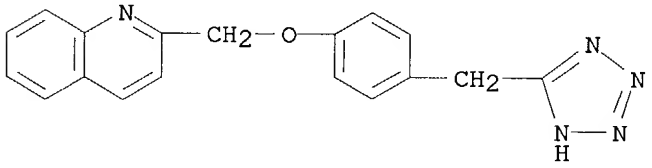
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 200101	A2	19861210	EP 1986-105287	19860416
	EP 200101	A3	19880420		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1985-723781	19850416
				US 1986-839410	19860313
	US 4631287	A	19861223	US 1985-723781	19850416
	US 4839369	A	19890613	US 1986-839410	19860313
				US 1985-723781	19850416
	AU 8656398	A1	19861023	AU 1986-56398	19860416
	AU 597249	B2	19900531		
				US 1985-723781	19850416
				US 1986-839410	19860313
	JP 62212334	A2	19870918	JP 1986-86228	19860416
				US 1985-723781	19850416
				US 1986-839410	19860313
	US 4725619	A	19880216	US 1986-877568	19860623
				US 1985-723781	19850416
	US 4728668	A	19880301	US 1986-877570	19860623
				US 1985-723781	19850416

OS CASREACT 109:22970

IT **107813-83-2P 114497-45-9P 114497-46-0P**
114497-47-1P 114497-53-9P 114497-54-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation inhibitor and allergy inhibitor)

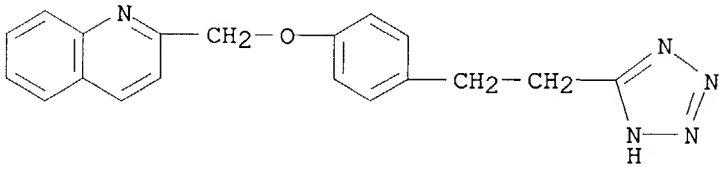
RN 107813-83-2 CAPLUS

CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



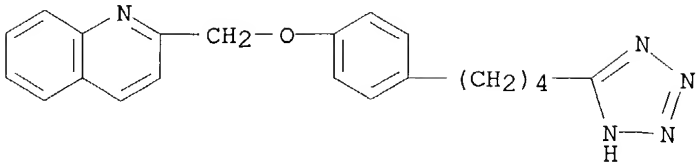
RN 114497-45-9 CAPLUS

CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

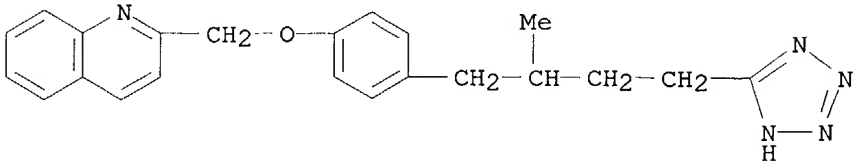


RN 114497-46-0 CAPLUS

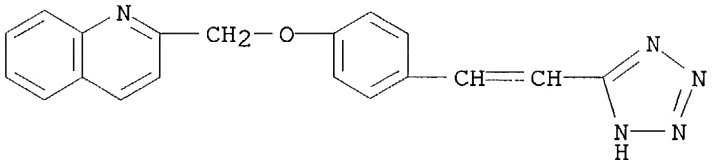
CN Quinoline, 2-[[4-[4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



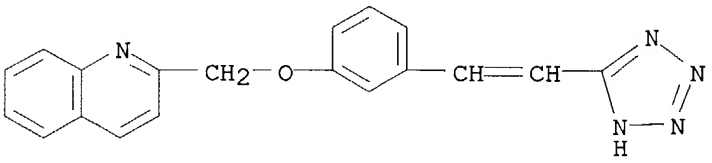
RN 114497-47-1 CAPLUS
CN Quinoline, 2-[[4-[2-methyl-4-(1H-tetrazol-5-yl)butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



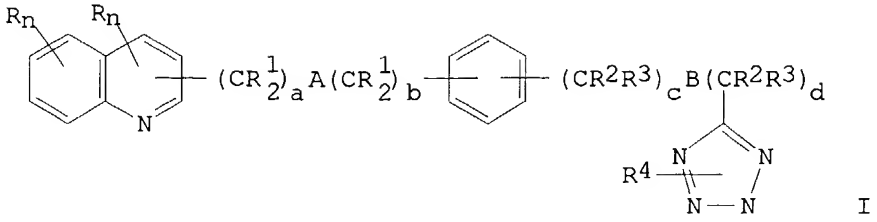
RN 114497-53-9 CAPLUS
CN Quinoline, 2-[[4-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



RN 114497-54-0 CAPLUS
CN Quinoline, 2-[[3-[2-(1H-tetrazol-5-yl)ethenyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)



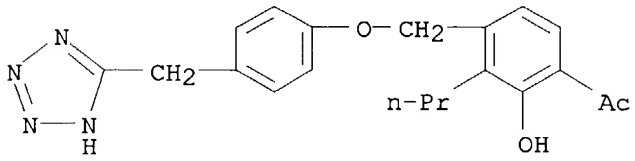
GI



I

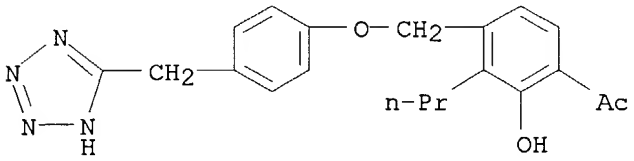
AB The title compds. [I; R = H, alkyl, OH, alkoxy, carbalkoxy, halo, NO2, haloalkyl, cyano; R1, R2 = H, alkyl, aralkyl; vicinal R2R2 = double bond; R3 = (CH2)xX; vicinal R3R3 = (CH2)y; R2R3 = (CH2)z; X = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, amino, carbamoyl, carboxy, carboalkoxy; R4 = H, (substituted) alkyl; A = O, S; B = CR2R3, O, S; a, c, n = 0-2; b = 0-1; d = 0-5; x = 0-3; yr = 1-4; z = 2-5] were prepared as antiinflammatories and allergy inhibitors (no data). 2-[(3-Hydroxyphenoxy)methyl]quinoline and 5-(3-chloropropyl)tetrazole were heated with KOH in EtOH/H2O to give 5-[3-(3-(2-quinolylmethoxy)phenoxy)propyl]tetrazole.

L3 ANSWER 60 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:437870 CAPLUS
DN 107:37870
TI Mechanism of A23187-induced airway obstruction in the guinea pig
AU Stengel, Peter W.; Pechous, Penelope A.; Silbaugh, Steven A.
CS Connect. Tissue Pulm. Res. Dep., Lilly Res. Lab., Indianapolis, IN, 46285, USA
SO Prostaglandins (1987), 33(4), 567-77
CODEN: PRGLBA; ISSN: 0090-6980
DT Journal
LA English
IT **97581-70-9**, Ly163443
RL: BIOL (Biological study)
(A23187-induced airway obstruction response to)
RN 97581-70-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)



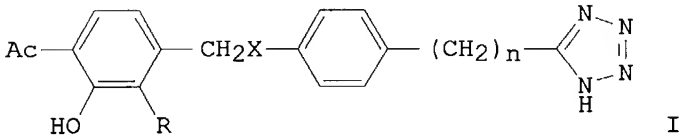
AB Exposure of conscious guinea pigs to A23187 aerosol produced a concentration-related increase of excised lung gas volume (ELGV), i.e., postmortem pulmonary gas trapping. Measurements of ELGV were highly correlated with in vivo measurements of dynamic compliance (Cdyn) and total pulmonary resistance (RL) and were used as an indication of in vivo airway obstruction. Guinea pigs were pretreated i.v. with the following drugs: atropine; LY163443, a selective LTD4/LTE4 antagonist; indomethacin;

(Reactant or reagent)
(preparation and methylation of)
RN 107223-78-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-yl-methyl)phenoxy]methyl]phenyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

GI



I

AB A series of [[(tetrazol-5-ylaryl)oxy]methyl]acetophenones I (R = H, Me, Et, Pr, Bu, CH2CHMe2; X = O, NH, S, CH2, OCH2CH2O; n = 0-3) was synthesized and evaluated as antagonists of leukotriene D4-induced contractions of guinea pig ileum. Substitutions at the 3-position of the acetophenone, e.g., I (R = Et, Pr, Bu, CH2CHMe2; X = 0, n = 1) gave log IC50 (IC = inhibiting concentration) of 7.9, 8.0, 7.8, and 7.7. I (n = 0-2) were equally potent. For retention of high antagonist activity, the acetophenone should be substituted in the 2-position by OH and the tetrazole ring should have an acidic H. I (R = Pr, X = 0, n = 1) (LY163443) has undergone extensive evaluation as an antiasthmatic agent.

L3 ANSWER 62 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:176188 CAPLUS
DN 106:176188
TI Aryl and heteroaryl ethers as agents for the treatment of hypersensitive ailments
IN Youssefyeh, Raymond; Chakraborty, Utpal; Magnien, Ernest; Desai, Rohit
PA USV Pharmaceutical Corp., USA
SO Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 200101	A2	19861210	EP 1986-105287	19860416

	EP 200101	A3	19880420		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1985-723781	19850416
				US 1986-839410	19860313
	US 4631287	A	19861223	US 1985-723781	19850416
	US 4839369	A	19890613	US 1986-839410	19860313
				US 1985-723781	19850416
	AU 8656398	A1	19861023	AU 1986-56398	19860416
	AU 597249	B2	19900531		
				US 1985-723781	19850416
				US 1986-839410	19860313
	JP 62212334	A2	19870918	JP 1986-86228	19860416
				US 1985-723781	19850416
				US 1986-839410	19860313
	US 4725619	A	19880216	US 1986-877568	19860623
				US 1985-723781	19850416
	US 4728668	A	19880301	US 1986-877570	19860623
				US 1985-723781	19850416

PATENT FAMILY INFORMATION:

FAN 1988:422970

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8705510	A1	19870924	WO 1987-US560	19870311
	W: AU, JP, US, US, US				
	RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
				US 1985-723781	19850416
				US 1986-839410	19860313
				US 1986-911028	19860924
	US 4631287	A	19861223	US 1985-723781	19850416
	US 4839369	A	19890613	US 1986-839410	19860313
				US 1985-723781	19850416
	US 4725619	A	19880216	US 1986-877568	19860623
				US 1985-723781	19850416
	US 4728668	A	19880301	US 1986-877570	19860623
				US 1985-723781	19850416
	US 4868193	A	19890919	US 1986-911028	19860924
	AU 8771623	A1	19871009	AU 1987-71623	19870311
	AU 612569	B2	19910718		
				US 1986-839410	19860313
				US 1986-911028	19860924
				WO 1987-US560	19870311
	EP 260305	A1	19880323	EP 1987-902015	19870311
	EP 260305	B1	19921216		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
				US 1986-839410	19860313
				US 1986-911028	19860924
	JP 63503139	T2	19881117	JP 1987-501942	19870311
				US 1986-839410	19860313
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				WO 1987-US560	19870311
	AT 83376	E	19930115	AT 1987-902015	19870311
				US 1986-839410	19860313
				US 1986-911028	19860924
				EP 1987-902015	19870311
				WO 1987-US560	19870311
	CA 1324142	A1	19931109	CA 1987-532055	19870313
				US 1986-839410	19860313

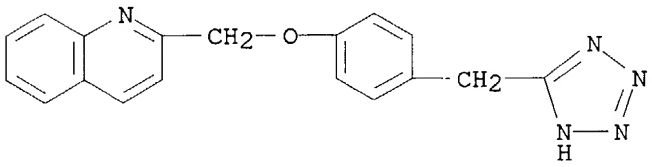
			US 1986-911028	19860924
US 4874769	A	19891017	US 1988-124800	19880105
			US 1985-723781	19850416
			US 1986-839410	19860313
			US 1986-911028	19860924
			WO 1987-US560	19870311

OS CASREACT 106:176188

IT **107813-83-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory and antiallergic)

RN 107813-83-2 CAPLUS

CN Quinoline, 2-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)



AB ArZMZ1Ar1 [Ar, Ar1 = (un)substituted Ph, naphthyl, or a N-, O-, S-containing heterocyclyl; Z, Z1 = bond, alkylene; M = O, S, NR; R = H, alkyl], useful as lipoxygenase inhibitors possessing anti-inflammatory and antiallergic properties, were prepared Thus, a mixture of 2-(chloromethyl)quinoline 0.05, PhOH 0.055, K2CO3 0.055, Cs2CO3 0.005, and NaI 0.0025 mol in Me2CO was refluxed for .apprx.4 h to give 2-(phenoxymethyl)quinoline (I). I inhibited 5-lipoxygenase activity with I50 = 0.7 using a suspension of rat neutrophils in buffer incubated for 3 min at 30° with [14C]arachidonic acid and Ca Ionophore A23187.

L3 ANSWER 63 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:508245 CAPLUS

DN 105:108245

TI Evaluation of LY163443, 1-[2-hydroxy-3-propyl-4-{[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl}phenyl]ethanone, as a pharmacologic antagonist of leukotrienes D4 and E4

AU Fleisch, Jerome H.; Rinkema, Lynn E.; Haisch, Klaus D.; McCullough, Doris; Carr, F. Patrick; Dillard, Robert D.

CS Lilly Res. Lab., Eli Lilly and Co., Indianapolis, IN, 46285, USA

SO Naunyn-Schmiedeberg's Archives of Pharmacology (1986), 333(1), 70-7
CODEN: NSAPCC; ISSN: 0028-1298

DT Journal

LA English

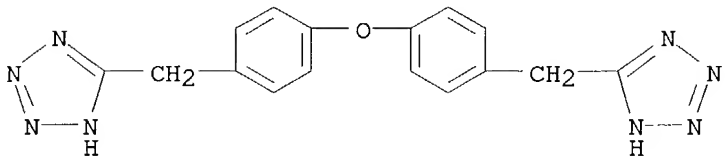
IT **97581-70-9**
RL: BIOL (Biological study)
(as leukotriene antagonist)

RN 97581-70-9 CAPLUS

CN Ethanone, 1-[2-hydroxy-3-propyl-4-[[4-(1H-tetrazol-5-ylmethyl)phenoxy]methyl]phenyl]- (9CI) (CA INDEX NAME)

				CH 1976-9138	19760716
	FR 2358433	A1	19780210	FR 1977-21935	19770718
	FR 2358433	B1	19790309		
				CH 1976-9138	19760716

IT **66012-61-1**
RL: USES (Uses)
(blowing agents, for plastics)
RN 66012-61-1 CAPLUS
CN 1H-Tetrazole, 5,5'-[oxybis(4,1-phenylenemethylene)]bis- (9CI) (CA INDEX NAME)



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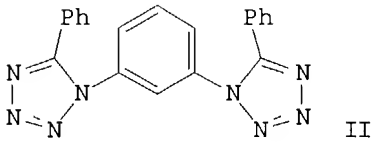

II

AB 1,5-Bis(5-tetrazolyl)-3-oxapentane (I) [66012-50-8], 1,5-bis(5-tetrazolyl)-3-thiapentane [66012-51-9], 1,2-bis(5-tetrazolyl)-1,2-diphenylethane [66012-53-1], 1,4-bis(5-tetrazolyl)butane [13242-31-4], 1,1'-di-tert-butyl-5,5'-bistetrazole [66012-71-3], 1,3-bis(1-phenyl-5-tetrazolyl)benzene [66012-78-0], 1,3-bis(5-phenyl-1-tetrazolyl)benzene (II) [66012-80-4], and 30 similar bistetrazoles were prepared for use as blowing agents in the manufacture of foams from polycarbonates, polyoxyphenylenes, polyesters, polyamides, polyolefins, etc. The blowing agents gave higher gas yields, compared with 5-phenyltetrazole. Thus, O(CH2CH2CN)2 [1656-48-0] 62, Na azide 71.5, NH4Cl 58.8, and DMF 200 parts were heated at 130° for 8 h to prepare I. A polyoxyphenylene containing 0.3% I was extruded to prepare a foam with d. 0.85 g/cm.

L3 ANSWER 67 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1976:405350 CAPLUS
DN 85:5350
TI Substituted phenylalkyl amines and -tetrazoles and addition salts thereof
PA Eli Lilly and Co., USA
SO Neth. Appl., 16 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 7506127	A	19750829	NL 1975-6127	19750523

NL 161433	C	19800215			
NL 161433	B	19790917			
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US 3649679	A	19720314	US 1968-752800	19680815	
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BE 737417	A	19700213	BE 1969-737417	19690813	
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IL 32825	A1	19730330	IL 1969-32825	19690814	
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NO 132689	B	19750908	NO 1969-3298	19690814	
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DK 145778	B	19830228	DK 1969-4361	19690814	
DK 145778	C	19830822			
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NL 6912504	A	19700217	NL 1969-12504	19690815	
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CH 527155	A	19720831	CH 1969-527155	19690815	
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CH 537354	A	19730713	CH 1971-13716	19690815	
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DE 1941625	A	19701119	DE 1969-1941625	19690816
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			US 1969-828756	19690528
ES 390805	A1	19740316	ES 1971-390805	19710430
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US 3890377	A	19750617	US 1973-380038	19730717
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NL 7506128	A	19750829	NL 1975-6128	19750523
NL 165147	B	19801015		
NL 165147	C	19810316		
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US 4001322	A	19770104	US 1975-632132	19751114
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FI 63928	C	19830912		
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				US 1975-608614 19750828
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	NO 139127	B	19781002	
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	NL 7905644	A	19791130	NL 1979-5644 19790720
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PATENT FAMILY INFORMATION:

FAN 1971:448707

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2015728	A5	19700430	FR 1969-28042	19690814
	FR 2015728	B1	19730713		
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	US 3649679	A	19720314	US 1968-752800	19680815
	ZA 6905611	A	19710331	ZA 1969-5611	19690805
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	AT 308726	B	19730725	AT 1970-9090	19690818
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FI 57592	B	19800530	FI 1978-846	19780317
FI 57592	C	19800910		
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			US 1969-828756	19690528
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NL 7905644	A	19791130	NL 1979-5644	19790720
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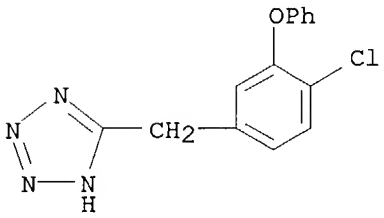
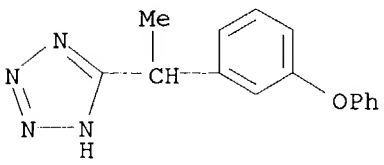
			US 1968-752801	19680815
			US 1969-828756	19690528
			NL 1969-12504	19690815

IT **32852-98-5P 59395-49-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32852-98-5 CAPLUS
CN 1H-Tetrazole, 5-[(4-chloro-3-phenoxy)methyl]- (9CI) (CA INDEX NAME)



RN 59395-49-2 CAPLUS
CN 1H-Tetrazole, 5-[1-(3-phenoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



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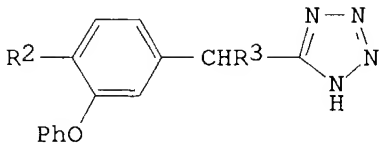

I

AB Analgesic and antiinflammatory (no data) 3-PhO6H4CHMeCH2NRR1 (R = H, Me, R1 = H, Me, cyclopropyl, cyclopropylmethyl, CH2CH2Ph, allyl; R = Me, R1 = CH2CH:CMe2), 3-PhOC6H4CHEtCH2NHMe, 3-PhOC6H4CHMeCH2CH2NHR, and 3-PhOC6H4CH2CH2NMeR were prepared e.g. by treating 3-PhOC6H4CHMeBr with NaCN and reducing 3-PhOC6H4CHMeCN, or by treating 3-PhOC6H4CHMeCO2H with RR1NH and reducing the amides. The tetrazoles I (R2 = Cl, R3 = H; R2 = H, R3 = Me) were obtained by treating 4,3-R2(PhO)C6H3CHR3CN with NaN3.

L3 ANSWER 68 OF 68 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1971:448707 CAPLUS
DN 75:48707
TI Antiinflammatory, analgesic, and antipyretic substituted phenylalkanoic acids and their derivatives
IN Marshall, Winston S.
PA Eli Lilly and Co.
SO Fr. Demande
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2015728	A5	19700430	FR 1969-28042	19690814
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	FI 63928	B	19830531		
	FI 63928	C	19830912		
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PATENT FAMILY INFORMATION:

FAN 1976:405350

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PI	NL 7506127	A	19750829	NL 1975-6127	19750523
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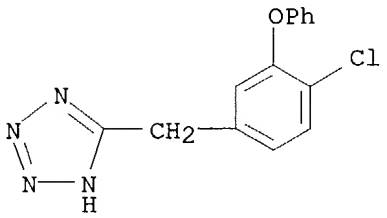
				US 1969-828756	19690528
				NL 1969-12504	19690815

IT **32852-98-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32852-98-5 CAPLUS
CN 1H-Tetrazole, 5-[(4-chloro-3-phenoxy)methyl]- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB The title compds. (I) comprising II and III, their salts, esters, amides, amines, alcs., ethers, tetrazoles, and carbamates, of which some 150 examples are listed are prepared by known methods for the synthesis of phenylacetic acids, phenylpropionic acids, and their derivs. (IV-CH2CO2H). Thus, morpholine containing m-PhOC6H4COMe and S refluxed 20 hr and the mixture refluxed 20 hr with addition of aqueous KOH and a small amount of EtOH with distillation of solvent, the hot filtrate cooled and acidified with concentrated HCl yielded II (Y1 = Y2 = R1 = H, X = O, n = 0, Z = CO2H), m. 84-6°. (CH2CO)2NBr, Bz2O2, and 4,3-Cl(PhO)C6H3Me in CCl4 refluxed 24 hr gave 4,3-Cl(PhO)C6H3CH2Br, which was treated in CS2 with 95% NaCN in Me2SO at 50-60° to give 4,3-Cl(PhO)C6H3CH2CN (V), which was hydrolyzed in concentrated HCl 24 hr at 85°, diluted with H2O, refluxed 4 hr to give 2-(4-chloro-3-phenoxyphenyl acid (VI), m. 77-80°. CHCl3 containing 3-PhOC6H4CH2CO2H refluxed with SOCl2 3 hr gave 3-PhOC6H4CH2COCl, which was treated with MeOH at 10° to yield 3-PhOC6H4CH2 CO2Me. V NaN3, NH4Cl and a trace of LiCl heated 12 hr in DMF at 125° and the oily product suspended in H2O, adjusted to pH 2 with HCl gave 5-(4-chloro-3-phenoxybenzyl)-1H-tetrazole, m. 157-8°. Reduction of 3-PhOC6H4COMe in MeOH at 0° with NaBH4 below 10° gave 3-PhOC6H4CHMeOH, brominated in CCl4 with PBr3 at 0-5° to the corresponding 3-PhOC6H4CHMeBr, transformed with 98% NaCN in Me2SO at 50-60° to the nitrile, 3-PhOC6H4CHMeCN (VII), saponified by alc. NaOH and acidification with concentrated HCl to 3-PrOC6H4CHMeCO2H (VIII). The acid chloride treated with NH3, HNMe2, and C3H5CH2NH2(C3H5-cyclopropyl) gave the corresponding 3-PhOC6H4CHMeCONH2, 3-PhOC6H4CHMeCONMe2 (IX), and 3-PhOC6H4CHMeCONHCH2C3H5. Reduction of IX in Et2O with LiAlH4 (N atmospheric) 18 hr yielded 3-PhOC6H4CHMeCH2NH2. VIII treated in hot EtOAc with d-(+)-α-methylbenzylamine and acidification yielded the optically active d-(+)-VIII, and l-(-)-VIII. VII, NH3 and Raney Ni with initial H pressure 70 kg/sq. cm. heated 4 hr at 70-80° gave 3-PhOC6H4CHMeCH2NH2. Na in liquid NH3 containing a catalytic amount of FeCl3

stirred 30 min. with 3-PhOC6H4CH2CN and the mixture stirred 18 hr with addition of EtI and evaporation of NH3 gave 3-PhOC6H4CHEtCN, hydrolyzed to the corresponding 3-PhOC6H4CHEtCO2H. Esterification of VIII with EtOH and HCl gave 3-PhOC6H4CHMeCO2Et, reduced in alc. with LiAlH4 to 3-PhOC6H4CHMeCH2OH (X). X in C6H6 treated with MeNCO in C6H6 and the mixture refluxed 5 hr gave 3-PhOC6H4CHMeCH2O2CNHMe. HONH2.HCl in MeOH treated with MeONa in MeOH and the filtered solution stirred with 3-PhOC6H4CHMeCH2CO2Me, the mixture refluxed gave 3-PhOC6H4CHMeCH2C(O)NHOH. MeNCO condensed with 3-PhOC6H4CHMeCH2NH2 in refluxing C5H5N yielded 3-PhOC6H4CHMeCH2NHCONHMe. Condensation of triethyl phosphoacetate with 3-PhOC6H4COMe in the presence of NaH in monoglyme gave 3-PhOC6H4CMe.CHCO2Et, reduced in alc. in the presence of PtO2 to the corresponding 3-PhOC6H4CHMeCH2CO2Et, hydrolyzed to 3-PhOC6H4CHMeCH2CO2H. 3,4-MeO(PhO)C6H3CH2CO2H refluxed with 48% HBr (N atmospheric) gave 3,4-HO(PhO)C6H3CH2CO2H. Liquid NH3 containing a trace of FeCl3 treated with Na and stirred 30 min, treated with 2,4-Me(PhO)C6H3CH2CO2H and stirred 45 min, treated with 11.3 g MeI gave 2,4-Me(PhO)C6H4CHMeCO2H. Conversion of 3,4-MeO(PhS)C6H3CH2CO2H with boiling HBr gave the corresponding 3,4-HO(PhS)C6H3CH2CO2H.

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(FILE 'HOME' ENTERED AT 13:27:47 ON 03 MAY 2004)

FILE 'REGISTRY' ENTERED AT 13:27:59 ON 03 MAY 2004
L1 STRUCTURE UPLOADED
L2 157 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:28:29 ON 03 MAY 2004
L3 68 S L2
L4 26 S L3 AND PHENYL
L5 13 S L3 AND CYCLOALKYL
L6 3 S L3 AND HETEROCYCLE

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L4 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:796427 CAPLUS
DN 139:323535
TI Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivatives as modulating agents for liver X receptors (LXR)
IN Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Bhat, Ajita; Mcatee, John Jeffrey; Stavenger, Robert A.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003082205	A2	20031009	WO 2003-US9450	20030326

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA,

US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-368425PP 20020327

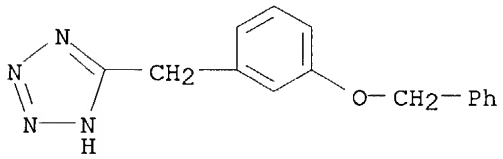
OS MARPAT 139:323535

IT **609772-07-8P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as modulating agents for liver X receptors (LXR) for prevention or treatment of LXR-mediated diseases)

RN 609772-07-8 CAPLUS

CN 1H-Tetrazole, 5-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



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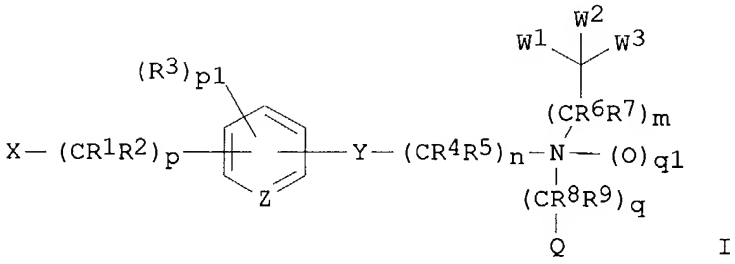

I

AB The title compds. (I) [X = C1-8 alkyl, halo, each (un)substituted OH, NH2, NHCONH2, SO2NH2, CO2H, or C(:NH)NH2, 5 or 6-membered heterocyclyl, etc.; or X and R3 together with their bonded atoms form alkylenedioxy; Z = (un)substituted CH or N; when Z = (un)substituted CH, p1 = 0-4 and q1 = 0-1; when Z = N, p1 = 0-3 and q1 = 0; Y = O, S, each (un)substituted NH or CH2; W1 = C1-6 alkyl, C3-8 cycloalkyl, aryl, heterocyclyl, etc.; W2 = H, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, each N, S, or O-(un)substituted C0-6 alkyl-NH2, C0-C6 alkyl-SH, C0-6 alkyl-OH, C0-6 alkyl-CO2H, etc.; W3 = H, halo, C1-6 alkyl, each N, S, or O-(un)substituted C0-6 alkyl-NH2, C0-6 alkyl-SH, C0-6 alkyl-OH, or C0-6 alkyl-CO2H, etc.; p = 0-8; n = 2-8; m, q, q1 = 0, 1; R1, R2 = H, halo, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, each N-, O-, or S-(un)substituted C0-6 alkyl-NH2 C0-6 alkyl-OH, or C0-6 alkyl-SH, heterocyclyl-C1-C6 alkyl, aryl-C1-6 alkyl, C3-7 cycloalkyl-C1-C6 alkyl, etc.; or CR1R2 forms a 3-5 membered carbocyclic or heterocyclic ring; R3 = halo, cyano, nitro, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, aryl-C0-6 alkyl, heterocyclyl-C0-6

alkyl etc.; R4, R5 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl; R6, R7, R8, R9 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl, etc.] or pharmaceutically acceptable salts or solvates thereof are prepared Many specific compds. are claimed. Also disclosed are pharmaceutical compns. containing the compds. I. The compds. I, salts and solvates of this invention are useful as LXR agonists for the prevention or treatment of LXR-mediated diseases such as cardiovascular disease, atherosclerosis, inflammation or as a medicament for increasing reverse cholesterol transport or inhibiting cholesterol absorption.

L4 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:334658 CAPLUS
DN 138:368896
TI Biologically active 4H-benzo[1,4]oxazin-3-ones useful as PPARγ agonists or antagonists
IN Burris, Thomas P.; Combs, Donald W.; Rybczynski, Philip J.; Dudash, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. Ser. No. 854,302.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003083329	A1	20030501	US 2001-990461	20011121
				US 2000-203860PP	20000512
				US 2001-854302 A2	20010511
	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
				US 2000-203860PP	20000512
	EP 1314729	A1	20030528	EP 2002-258024	20021121
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				US 2001-990461 A	20011121

PATENT FAMILY INFORMATION:
FAN 2001:851139

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001087862	A2	20011122	WO 2001-US15383	20010511
	WO 2001087862	A3	20020530		
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	US 2002165228	A1	20021107	US 2001-854302	20010511
	US 6555536	B2	20030429		
				US 2000-203860PP	20000512
	EP 1280784	A2	20030205	EP 2001-937335	20010511
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2000-203860PP 20000512
US 2001-854302 A 20010511
WO 2001-US15383W 20010511

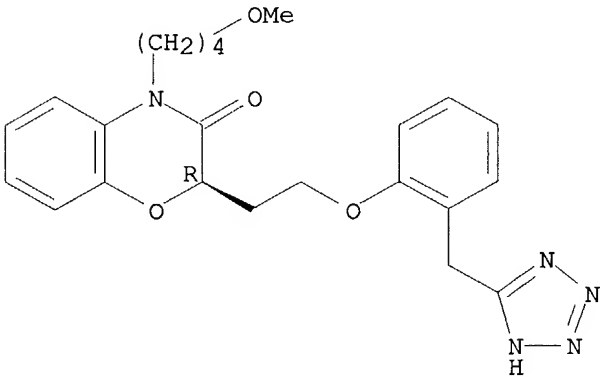
OS MARPAT 138:368896

IT **374109-64-5P,** (2R)-4-(4-Methoxybutyl)-2-[2-[2-[(1H-tetrazol-5-yl)methyl]phenoxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzooxazinones as PPARγ agonists or antagonists)

RN 374109-64-5 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(4-methoxybutyl)-2-[2-[2-(1H-tetrazol-5-ylmethyl)phenoxy]ethyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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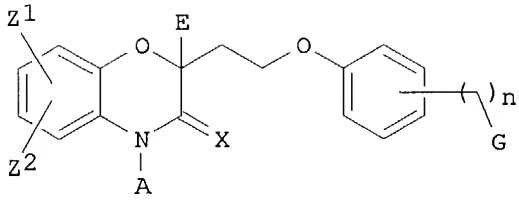

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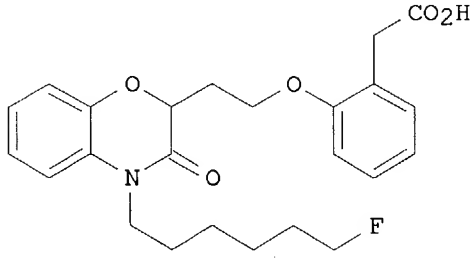


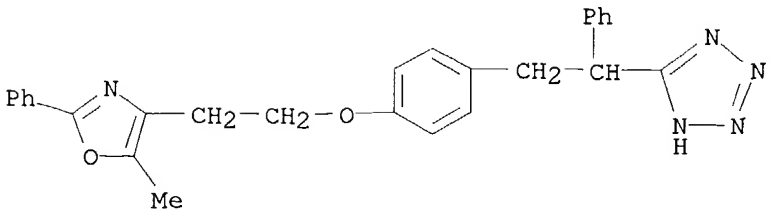
II

AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPARγ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO2H or derivs., NH2 or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO2R1, COCO2R1, CONR1R2, CF3, P(O)(OR1)(OR2), SH, tetrazolyl, certain heterocycles, etc.; E = H, alkyl, -CH2CH2OC6H4(CH2)nG; X = H2, O; R1, R2 = H, alkyl, aryl, heterocyclyl, aralkyl; or R1R2 = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH2)6F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound II. In an agonist intrinsic activity assay for induction of aP2 mRNA production, II gave a 64.9-fold increase over control.

L4 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:173582 CAPLUS
DN 138:221586
TI Preparation of azoles as oral antidiabetic agents.
IN Bigge, Christopher Franklin; Bridges, Alesander James; Casimiro-Garcia, Augustin; Fakhoury, Stephen Alan; Lee, Helen Tsenwhei; Reed, Jessica Elizabeth; Schaum, Robert Philipp; Schlosser, Kevin Matthew; Sexton, Karen Elaine; Zhou, Hairong
PA Warner Lambert Co., USA
SO PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003018553	A1	20030306	WO 2002-IB2843	20020715
WO 2003018553	C1	20040408		
W: AE, AM, BA, BG, CA, CO, CU, DE, DK, EE, FI, GB, GE, GH, HR, ID, IL, IN, JP, KE, KZ, LK, LR, LU, MA, MN				
RW: GH, GM, MW, SD, SL, TZ, ZM, AT, BE, CH, CY, SK, TR, BF, CG, CI, GA				
			US 2001-315728PP	20010829
US 2003171377	A1	20030911	US 2002-225716	20020822
			US 2001-315728PP	20010829
			US 2001-322123PP	20010914
			US 2002-369788PP	20020403

OS MARPAT 138:221586
IT **501031-81-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azoles as oral antidiabetic agents)
RN 501031-81-8 CAPLUS
CN 1H-Tetrazole, 5-[2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-1-phenylethyl]- (9CI) (CA INDEX NAME)



AB AXQYC(B)(D)ZE [A = (substituted) (fused) aryl, heteroaryl, cycloalkyl, heterocycloalkyl; X = CH2O, CH2CH2O, (CH2)3, CH2C.tplbond.C, CH2CH:CH; Q = (substituted) (fused) aryl, heteroaryl; Y, Z = null, (CR1R2)n, (CR3R4)m; R1-R4 = H, halo, alkyl, OH, alkoxy; m, n = 1-3; B = H, halo, alkyl, haloalkyl, alkoxy; D = H, (substituted) arylamino, alkanoyl, PhCO, aryl, heteroaryl, cycloalkyl, heterocycloalkyl; E = COR5; R5 = alkyl, OH, alkoxy, amino, sulfonylamino, substituted heteroaryl, dioxothiazolyl, etc.; with provisos], were prepared Thus, (S)-tyrosine Me ester, 2,5-dimethoxytetrahydrofuran, and NaOAc were heated in aqueous HOAc at 100° for 20 min. to give 35% pyrrolotyrosine Me ester. This was stirred with 2-(5-methyl-2-phenyloxazol-4-yl)ethanol, Ph3P, and di-Et azodicarboxylate in THF for 18 h to give 51% Me (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]**phenyl**]-2-pyrrol-1-ylpropionate. The latter was stirred with LiOH in THF/H2O to give 51% (S)-3-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]**phenyl**]-2-pyrrol-1-ylpropionic acid. In a 3T3-L1 adipocyte differentiation assay, title compds. at 5 μM showed 2-183% of the activity of BRL 49653 pos. control. A drug formulation is given.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964135 CAPLUS
DN 138:24543
TI Preparation of benzyloxyphenyloxobutyrates and related compounds for the treatment of metabolic disorders
IN Sharma, Shalini; Von Borstel, Reid W.; Hodge, Kirvin L.
PA Wellstat Therapeutics Corporation, USA
SO PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002100341	A2	20021219	WO 2002-US18388	20020612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-297282PP	20010612
US 2003149107	A1	20030807	US 2002-167839	20020612

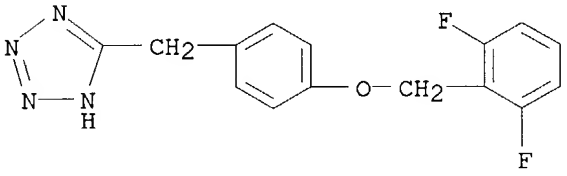
US 2004077896 A1 20040422
US 2001-297282PP 20010612
US 2003-684644 20031014
US 2001-297282PP 20010612
US 2002-167839 A320020612

OS MARPAT 138:24543

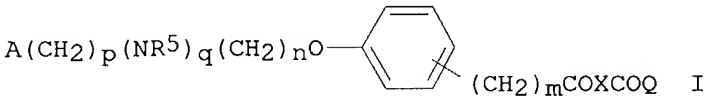
IT **478162-73-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzyloxyphenyloxobutyrates and related compds. for treatment of metabolic disorders)

RN 478162-73-1 CAPLUS

CN 1H-Tetrazole, 5-[[4-[(2,6-difluorophenyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



GI



AB Biol. active title compds. [I; n = 1, 2; m, q, p = 0, 1; R5 = alkyl; R9 = H, halo, alkoxy; A = (halo-, alkyl-, perfluoromethyl-, alkoxy-, perfluoromethoxy-substituted) Ph, (Me-, Et-substituted) cycloalkyl, 5-6 membered heteroarom. ring having 1-2 N, S, O atoms; X = CH2, Q = OR1, R1 = Et; or X = CH2CR12R13, CH2CH(NHAc), Q = OR1, R1 = H, alkyl; or X = CH2CH2, Q = NR10R11; R12, R13 = H, Me; 1 of R10, R11 = H, alkyl, OH, the other = H, alkyl], were prepared Thus, 4-(2-fluorobenzyloxy)acetophenone (preparation given) in THF and DMPU was treated with a solution of Li bis(trimethylsilyl)amide at -60°; after 10 min, tert-Bu bromoacetate was added followed by stirring for an addnl. 10 min and warming to room temperature for 4 h to give tert-Bu 4-[4-(2-fluorobenzyloxy) **phenyl**]-4-oxobutyrate. The latter was stirred with CF3CO2H in CH2Cl2 to give 4-[4-(2-fluorobenzyloxy)**phenyl**]-4-oxobutyric acid. Tested I showed antidiabetic activity in a variety of tests. I are useful in treatment of various metabolic disorders such as insulin resistance syndrome, diabetes, hyperlipidemia, fatty liver disease, cachexia, obesity, atherosclerosis and arteriosclerosis.

L4 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:90009 CAPLUS

DN 136:134497

TI Synthesis and use of amino acid-derived aliphatic amides/esters as inhibitors of phospholipases

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS	12	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	13	APR 26	PROMT: New display field available
NEWS	14	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	15	APR 26	LITALERT now available on STN
NEWS	16	APR 27	NLDB: New search and display fields available

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:42:37 ON 03 MAY 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:43:22 ON 03 MAY 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8
DICTIONARY FILE UPDATES: 30 APR 2004 HIGHEST RN 678535-01-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

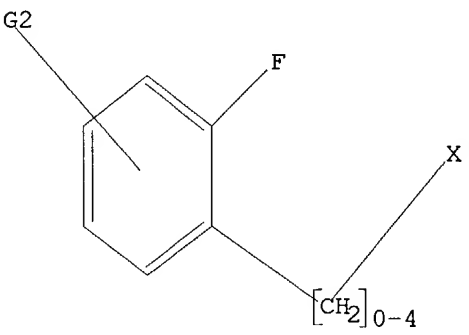
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10684740.12

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

G2



G1 Cb,Cy,Hy

G2 H,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
FULL SEARCH INITIATED 14:44:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 452399 TO ITERATE

88.4% PROCESSED 400000 ITERATIONS 118959 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.04

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 452399 TO 452399
PROJECTED ANSWERS: 133443 TO 135641

L2 118959 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.84	156.05

FILE 'CAPLUS' ENTERED AT 14:44:41 ON 03 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 3 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 2 May 2004 (20040502/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 14:44:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 22745 TO ITERATE

4.4% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       445883 TO   463917
PROJECTED ANSWERS:          136433 TO   146513

L3           50 SEA SSS SAM L1



L4           38 L3

=> d his

     (FILE 'HOME' ENTERED AT 14:42:37 ON 03 MAY 2004)

     FILE 'REGISTRY' ENTERED AT 14:43:22 ON 03 MAY 2004
L1              STRUCTURE UPLOADED
L2       118959 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 14:44:41 ON 03 MAY 2004
                S L1

     FILE 'REGISTRY' ENTERED AT 14:44:46 ON 03 MAY 2004
L3           50 S L1

     FILE 'CAPLUS' ENTERED AT 14:44:47 ON 03 MAY 2004
L4           38 S L3

=> s l2
L5       24146 L2

=>

=> s l5 and 2,6-difluopro
L6            0 L5 AND 2,6-DIFLUOPRO

=> s l5 and 2,6-difluoro
L7          138 L5 AND 2,6-DIFLUORO

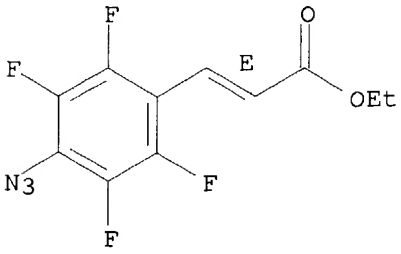
=> s l5 and 2,6-difluorophenyl
L8          163 L5 AND 2,6-DIFLUOROPHENYL

=> s l5 and 2-fluorophenyl
L9          429 L5 AND 2-FLUOROPHENYL

=> d l8 fbib hitstr abs total

L8   ANSWER 1 OF 163  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2004:267311  CAPLUS
DN   140:287417
TI   Preparation of aminobenzodiazepinones and pharmaceutical compositions
     containing them for use against respiratory syncytial virus
IN   Carter, Malcolm; Henderson, Elisa; Kelsey, Richard; Wilson, Lara;
     Chambers, Phil; Taylor, Debra; Tyms, Stan
PA   Arrow Therapeutics Limited, UK
SO   PCT Int. Appl., 134 pp.
     CODEN: PIXXD2
```

Double bond geometry as shown.



AB Diazotizaton of Et 4-amino-2,3,5,6-tetrafluorocinnamate was carried out in anhydrous F3CCO2H to give 87% Et 4-azido-2,3,5,6-tetrafluorocinnamate, after treatment with NaN3. Similarly, 2,6-difluoroaniline gave 54% **2, 6-difluorophenyl** azide and 3,6-diaminoacridine gave 84% 3,6-diazidoacridine.

=> d his

(FILE 'HOME' ENTERED AT 14:42:37 ON 03 MAY 2004)

FILE 'REGISTRY' ENTERED AT 14:43:22 ON 03 MAY 2004
L1 STRUCTURE UPLOADED
L2 118959 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:44:41 ON 03 MAY 2004
S L1

FILE 'REGISTRY' ENTERED AT 14:44:46 ON 03 MAY 2004
L3 50 S L1

FILE 'CAPLUS' ENTERED AT 14:44:47 ON 03 MAY 2004
L4 38 S L3
L5 24146 S L2
L6 0 S L5 AND 2,6-DIFLUOPRO
L7 138 S L5 AND 2,6-DIFLUORO
L8 163 S L5 AND 2,6-DIFLUOROPHENYL
L9 429 S L5 AND 2-FLUOROPHENYL

=> d l9 fbib hitstr abs total

L9 ANSWER 1 OF 429 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:308424 CAPLUS
TI Preparation of chiral oxazole-arylpropionic acid derivatives and their use as PPARα and PPARγ agonists for disorders like type II diabetes
IN Binggeli, Alfred; Boehringer, Markus; Grether, Uwe; Hilpert, Hans; Hirth, Georges; Maerki, Hans-Peter; Meyer, Markus; Mohr, Peter; Ricklin, Fabienne
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031162	A1	20040415	WO 2003-EP11030	20031006

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

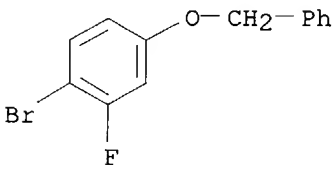
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 2002-22286 A 20021007

IT **185346-79-6P**, 1-Bromo-2-fluoro-4-(phenylmethoxy)benzene
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral oxazole-arylpropionic acid derivs. and their use as PPARα and PPARγ agonists for disorders like type II diabetes)

RN 185346-79-6 CAPLUS

CN Benzene, 1-bromo-2-fluoro-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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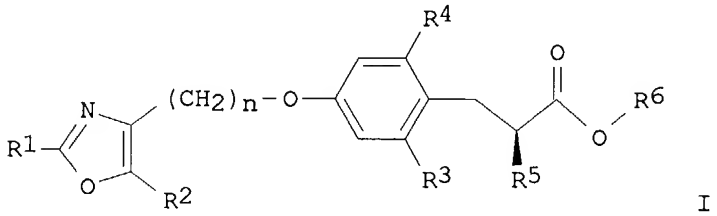

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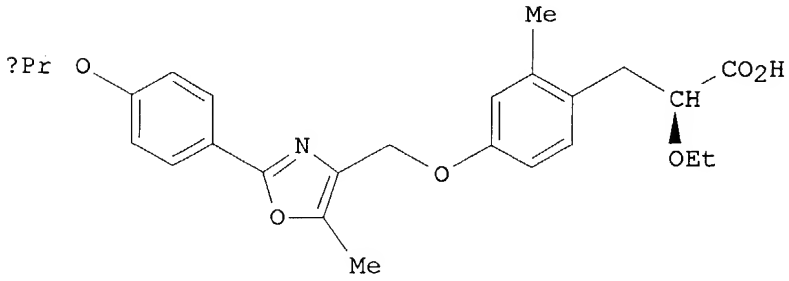


II

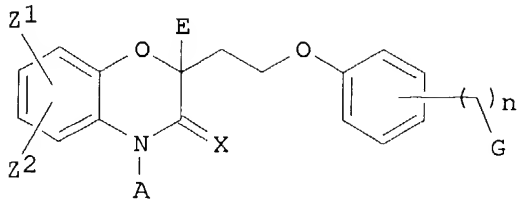
Patel <5/3/2004>

AB The present invention relates to chiral oxazole-arylpropionic acid derivs. (shown as I; variables defined below; e.g. II) and pharmaceutically acceptable salts and esters thereof. The compds. are useful for the treatment and/or prevention of diseases, which are modulated by PPARα and/or PPARγ agonists as e.g. type II diabetes. For I: R1 is aryl or heteroaryl; R2 is H, lower-alkyl, or fluoro-lower-alkyl; R3 and R4 = H, hydroxy, halogen, lower-alkyl, fluoro-lower-alkyl, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, lower-alkoxy, fluoro-lower-alkoxy, hydroxy-lower-alkoxy, lower-alkoxy-lower-alkoxy, or lower-alkenyl, wherein at least one of R3 and R4 is not H; R5 is lower-alkoxy, fluoro-lower-alkoxy, lower-alkenyloxy, fluoro-lower-alkenyloxy, aryloxy, aryl-lower-alkoxy, or arylfluoro-lower-alkoxy; R6 is H or lower-alkyl; n is 1. EC50 and IC50 values for 10 examples of I towards PPARα and PPARγ are tabulated, e.g. IC50 = 30 and 58 nmol/L for PPARα and PPARγ, resp. for II. A method of preparation involving removing a protective ester radical (R6 = protective group) is claimed. Approx. 50 examples prepns. of I are included. For example, II was prepared in 4 steps starting with cyclization of diacetyl monooxime with 4-isopropoxybenzaldehyde to give 2-(4-isopropoxyphenyl)-4,5-dimethyloxazole 3-oxide hydrochloride, which was converted with POCl3 to 4-chloromethyl-2-(4-isopropoxyphenyl)-5-methyloxazole, which was coupled to (2S)-2-ethoxy-3-(4-hydroxy-2-methylphenyl)propionic acid Me ester to give (S)-2-ethoxy-3-[4-[2-(4-isopropoxyphenyl)-5-methyloxazol-4-ylmethoxy]-2-methylphenyl]propionic acid Me ester, which was hydrolyzed by LiOH to the acid.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 429 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:287780 CAPLUS
DN 140:303543
TI Preparation of piperidine- and tetrazolyl-containing ureas and related compounds as modulators of chemokine receptor activity
IN Batt, Douglas G.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004028530	A1	20040408	WO 2003-US30256	20030925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2002-413895PP	20020926
US 2004067935	A1	20040408	US 2003-670596	20030925



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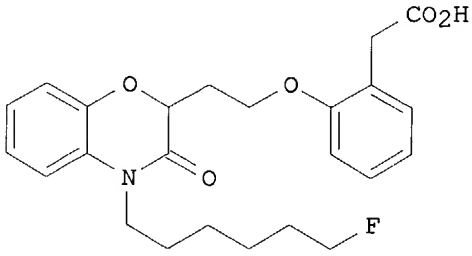


II

AB The invention is directed to 4H-benzo[1,4]oxazin-3-ones I and their stereoisomers, esters, salts, and prodrugs, useful as peroxisome proliferator activated receptor gamma (PPARγ) agonists or antagonists [wherein: A = (un)substituted aryl, heterocyclyl, or alkyl; Z1 = H, alkyl, aryl, heterocyclyl, OH or derivs., CO2H or derivs., NH2 or derivs., halo, etc.; Z2 = H, halo, alkyl; or Z1Z2 = atoms to form fused aromatic ring; n = 0-3; G = CO2R1, COCO2R1, CONR1R2, CF3, P(O)(OR1)(OR2), SH, tetrazolyl, certain **heterocycles**, etc.; E = H, alkyl, -CH2CH2OC6H4(CH2)nG; X = H2, O; R1, R2 = H, alkyl, aryl, heterocyclyl, aralkyl; or R1R2 = atoms to form 5- to 10-membered ring; with addnl. provisos]. Pharmaceutical compns. comprising the compds. and methods of treating conditions such as NIDDM and obesity are also disclosed. Over 130 specific compds. are listed, and 5 of the preferred compds. are claimed. For instance, the silyl-protected intermediate 2-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2H-1,4-benzoxazin-3(4H)-one (preparation given) underwent a sequence of N-alkylation with Br(CH2)6F, desilylation, Mitsunobu reaction with Me (2-hydroxyphenyl)acetate, and alkaline saponification, to give the preferred compound II. In an agonist intrinsic activity assay for induction of aP2 mRNA production, II gave a 64.9-fold increase over control.

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:553787 CAPLUS
DN 111:153787
TI 2-Aryl-substituted heterocyclic compounds as antiallergic and anti-inflammatory agents
IN Musser, John Henry; Bender, Reinhold Hans Wilhelm; Kreft, Anthony Frank, III
PA American Home Products Corp., USA
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 310370	A1	19890405	EP 1988-309014	19880929

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

				US 1987-103224	19870930
	US 4826990	A	19890502	US 1987-103224	19870930
	AU 8822896	A1	19890406	AU 1988-22896	19880928
	AU 611714	B2	19910620		
				US 1987-103224	19870930
	GB 2210368	A1	19890607	GB 1988-22839	19880929
	GB 2210368	B2	19920325		
				US 1987-103224	19870930
	JP 01143856	A2	19890606	JP 1988-248900	19880930
				US 1987-103224	19870930
	US 4895953	A	19900123	US 1989-311011	19890215
				US 1987-103224	19870930

PATENT FAMILY INFORMATION:

FAN 1992:490271

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5103014	A	19920407	US 1990-525418	19900518
				US 1987-103224	19870930
				US 1989-311558	19890215
	US 4826990	A	19890502	US 1987-103224	19870930
	US 4942236	A	19900717	US 1989-311558	19890215
				US 1987-103224	19870930

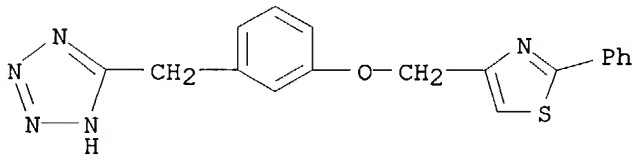
OS CASREACT 111:153787; MARPAT 111:153787

IT **122994-31-4P**

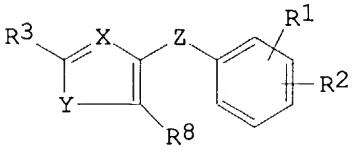
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation and allergy inhibitors)

RN 122994-31-4 CAPLUS

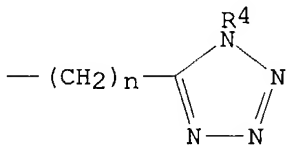
CN 1H-Tetrazole, 5-[[3-[(2-phenyl-4-thiazolyl)methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



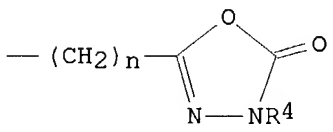
GI



I



Q1



Q2

AB Title compds. I [X = CR4, N; Y = CR4:N, N:CR4, CR4:CR4, S, NR4; X = (CH2)nO, (CH2)S, (CH2)nNR4, CONR4, (CH2)nS(O), (CH2)nSO2, CR4:CR4, C.tplbond.C; R1 = (CH2)nNR4SO2R5, CH(OR4)CH2NR4R6, (CH2)nCONR4SO2R5, (CHR7)nCO2R4, (CHR7)nCONR4OR4, (CH2)nCONHNH2, Q1, Q2; n = 0-5; R2, R8 = H, alkyl, alkoxy, alkoxycarbonyl, CF3, NO2, cyano, halo; R3 = R2C6H4W(CH2)m; (R2)2C6H3; W = O, S, NR4; m = 1-15; R4 = H, alkyl; R5 = alkyl, mono-, di-, poly-, or perfluoroalkyl, R2C6H4; R6 = H, alkyl, CO2R4, CON(R4)2; R7 = H, Me] are prepared Treatment of I (R1 = 3-NH2; R2 = H; R3 = 4-MeOC6H4; R8 = Me; X = N; Y = O) (preparation given) in CH2Cl2 with (CF3SO2)2O in the presence of Et3N gave I (R1 = 3-CF3SO2NH). The latter at 25 mg/kg intraduodenally showed 24% inhibition of leukotriene-induced bronchospasm in guinea pigs.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	695.09	850.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-76.23	-76.23

STN INTERNATIONAL LOGOFF AT 13:32:22 ON 03 MAY 2004